

C.A.S. 8/13/01

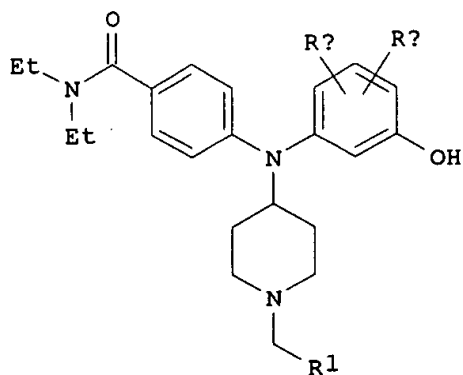
09/623,872

Page 1

=> d bib abs hitstr 1-10

X
L4 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2001 ACS
AN 2001:472786 CAPLUS
DN 135:76802
TI Preparation of 4-[3-hydroxyphenyl](4-piperidynyl)amino]-N,N-diethylbenzamides useful in the management of pain
IN Brown, William; Walpole, Christopher
PA Astrazeneca Ab, Swed.
SO PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

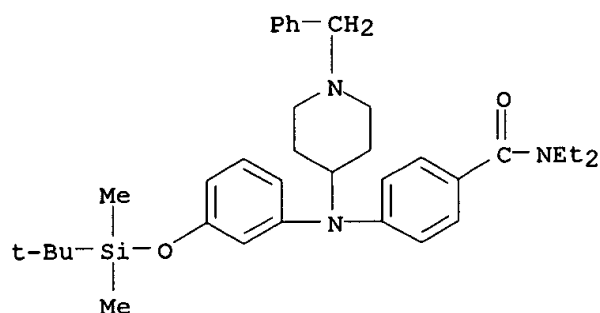
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001046263	A1	20010628	WO 2000-SE2560	20001215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRAI SE 1999-4675	A	19991220		
OS MARPAT 135:76802				
GI				



AB The title compds. [I; R1 = (un)substituted Ph, pyridinyl, thiophenyl, furanyl, imidazolyl, triazolyl; Ra, Rb = H, alkyl, NO2, etc.] and their pharmaceutically acceptable salts, useful in therapy, in particular in the management of pain, were prepd. E.g., a multi-step synthesis of I [R1 = Ph; Ra, Rb = H] was presented. Biol. data for compds. I were given.

IT 346664-69-5P

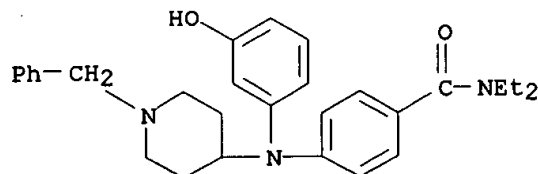
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant);
 SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of 4-[3-(4-(1,1-dimethylethyl)dimethylsilyloxy)phenyl]-1-(phenylmethyl)-4-piperidiny]amino]-N,N-diethylbenzamide useful in the management of pain)
 RN 346664-69-5 CAPLUS
 CN Benzamide, 4-[[3-[[1-(1,1-dimethylethyl)dimethylsilyloxy]phenyl]-1-(phenylmethyl)-4-piperidiny]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)



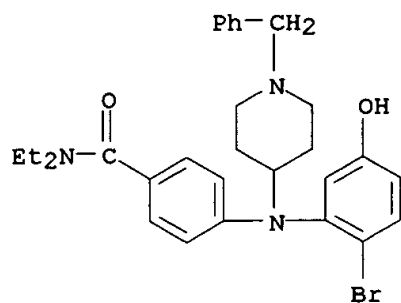
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 346664-79-7P 346664-80-0P 346664-82-2P
 346664-84-4P 346664-86-6P 346664-88-8P
 346664-90-2P 346664-92-4P 346664-94-6P
 346664-96-8P 346664-98-0P 346664-99-1P
 346665-01-8P 346665-03-0P 346665-05-2P
 346665-07-4P 346665-09-6P 346665-11-0P
 346665-13-2P 346665-15-4P 346665-17-6P
 346665-19-8P 346665-21-2P 346665-23-4P
 346665-25-6P 346665-27-8P 346665-29-0P
 346665-31-4P 346665-33-6P 346665-35-8P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

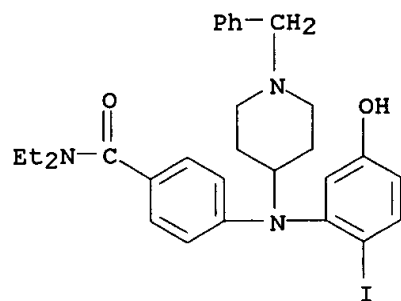
(prepn. of 4-[3-(4-(1,1-dimethylethyl)dimethylsilyloxy)phenyl]-1-(phenylmethyl)-4-piperidiny]amino]-N,N-diethylbenzamide useful in the management of pain)
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 CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-(phenylmethyl)-4-piperidiny]amino]- (9CI) (CA INDEX NAME)



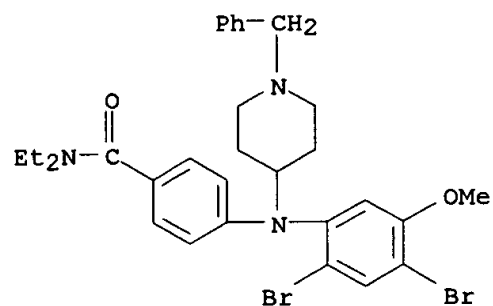
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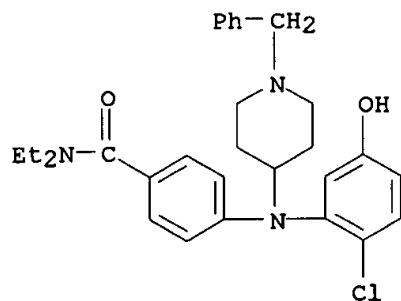
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 CN Benzamide, N,N-diethyl-4-[(5-hydroxy-2-iodophenyl)[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



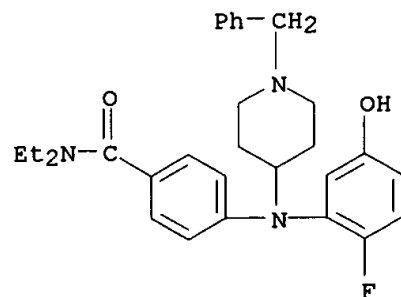
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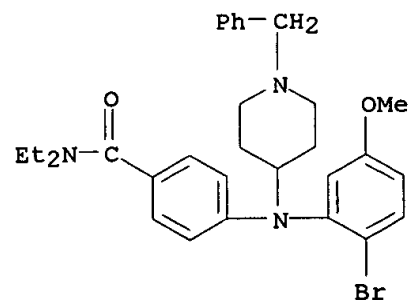
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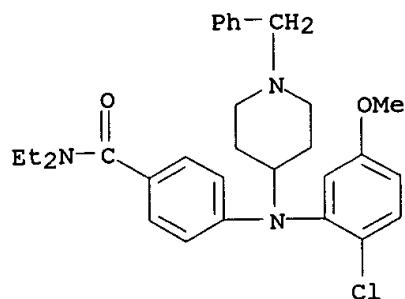
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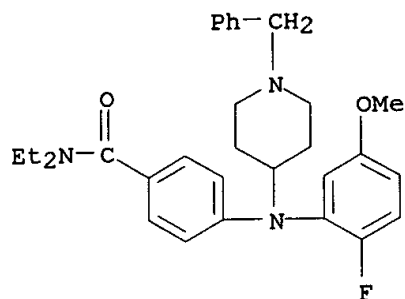
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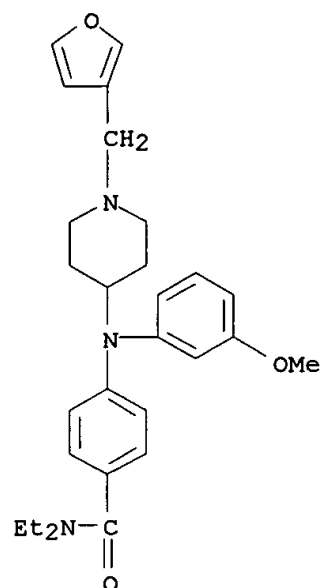
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 CN Benzamide, 4-[(2-chloro-5-methoxyphenyl)[1-(phenylmethyl)-4-piperidinyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)



RN 346664-88-8 CAPLUS
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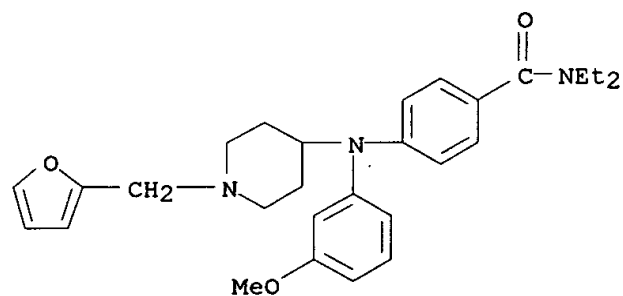


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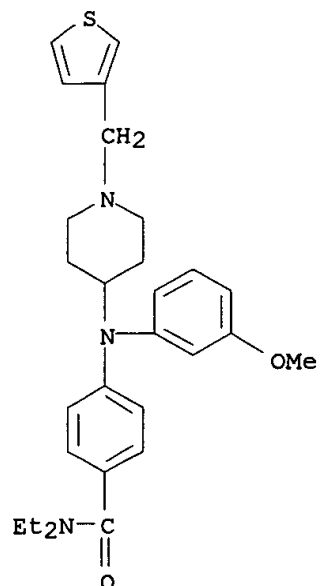
RN 346664-92-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[[1-(2-furanylmethyl)-4-piperidinyl](3-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)



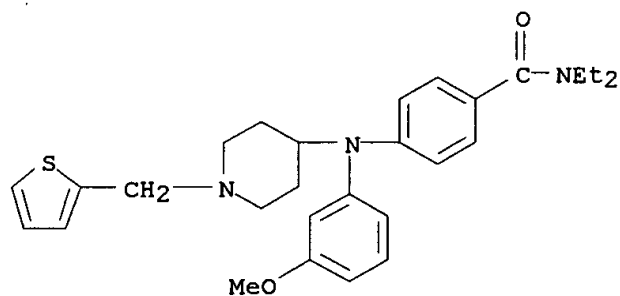
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CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)[1-(3-thienylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



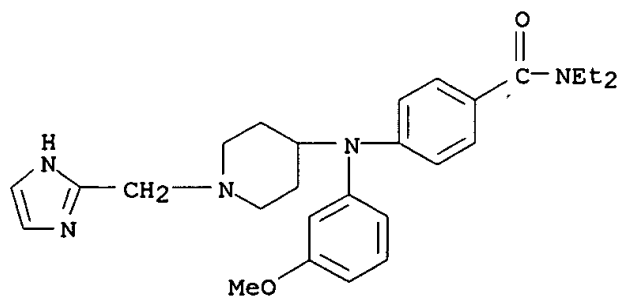
RN 346664-96-8 CAPLUS

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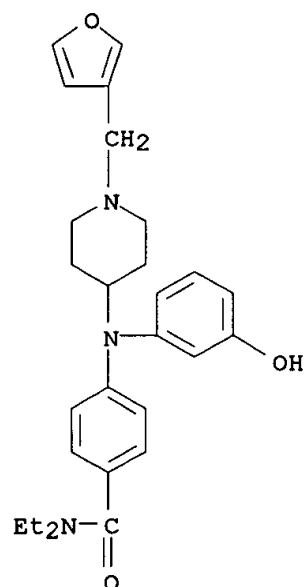
RN 346664-98-0 CAPLUS

CN Benzamide, N,N-diethyl-4-[[1-(1H-imidazol-2-ylmethyl)-4-piperidinyl](3-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)



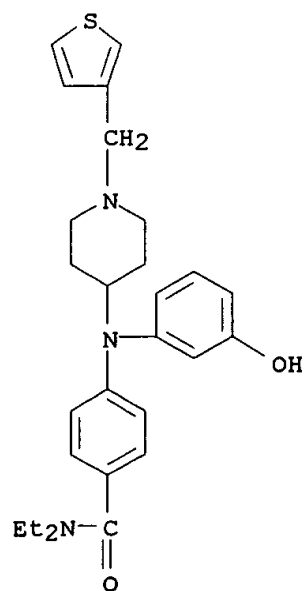
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CN Benzamide, N,N-diethyl-4-[[1-(3-furanylmethyl)-4-piperidiny] (3-hydroxyphenyl)amino]- (9CI) (CA INDEX NAME)



RN 346665-01-8 CAPLUS

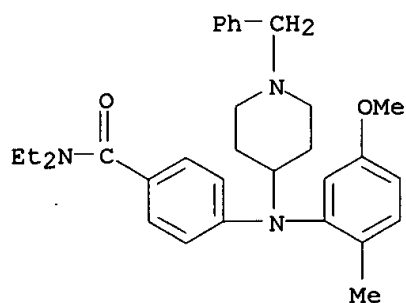
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RN 346665-03-0 CAPLUS

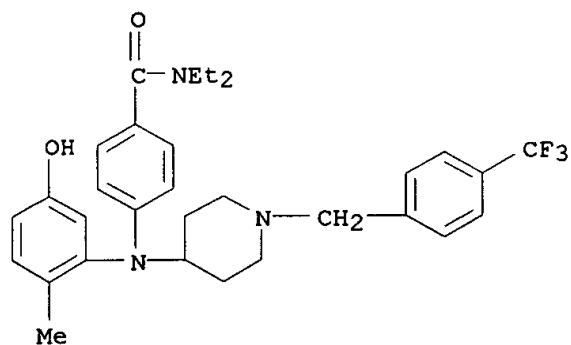
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piperidinyl]amino]- (9CI) (CA INDEX NAME)



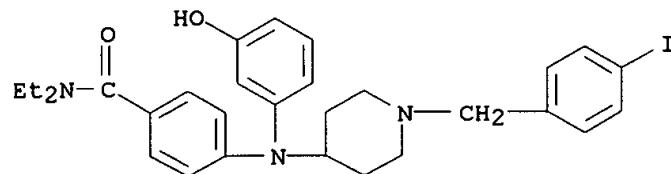
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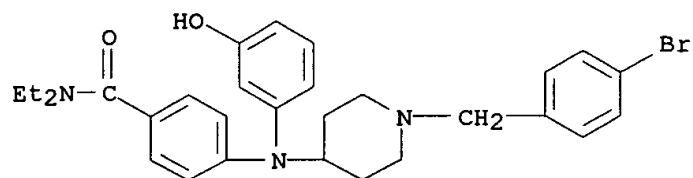
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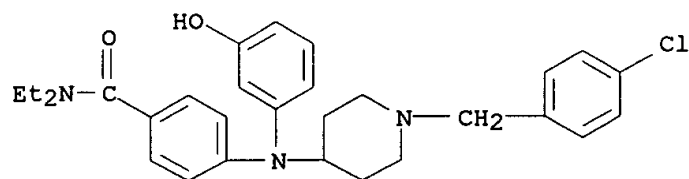
RN 346665-09-6 CAPLUS

CN Benzamide, 4-[[1-[(4-bromophenyl)methyl]-4-piperidinyl](3-hydroxyphenyl)amino]-N,N-diethyl- (9CI) (CA INDEX NAME)



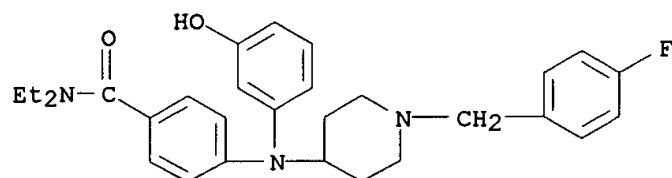
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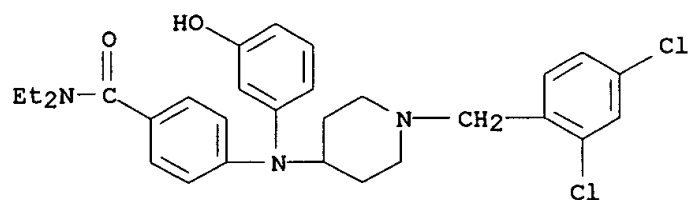
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CN Benzamide, N,N-diethyl-4-[[1-[(4-fluorophenyl)methyl]-4-piperidinyl](3-hydroxyphenyl)amino]- (9CI) (CA INDEX NAME)



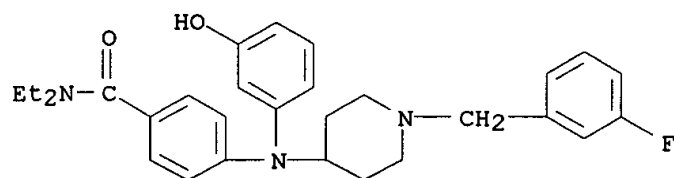
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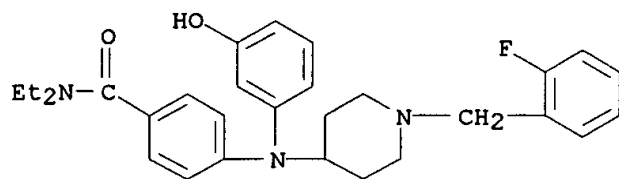
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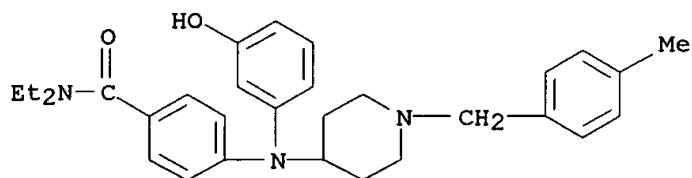
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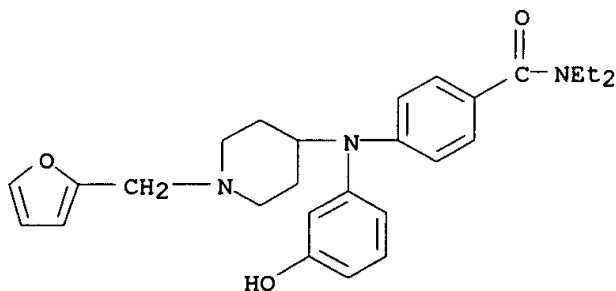
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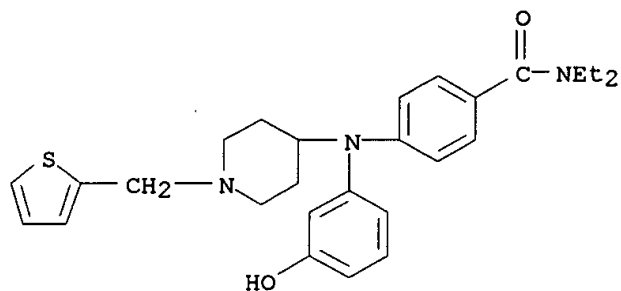
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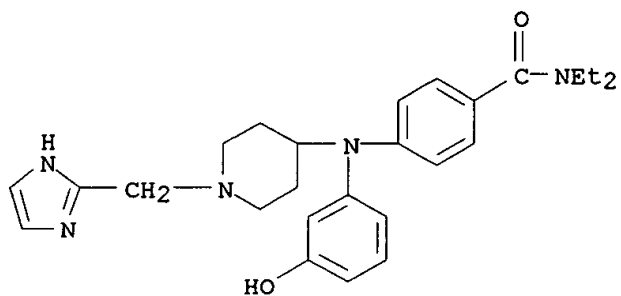
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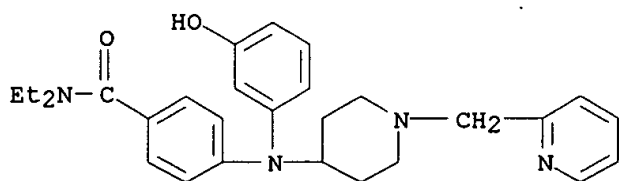
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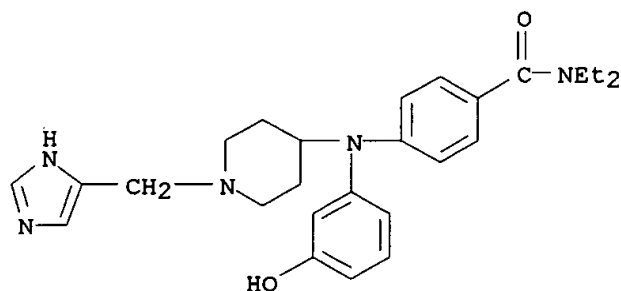
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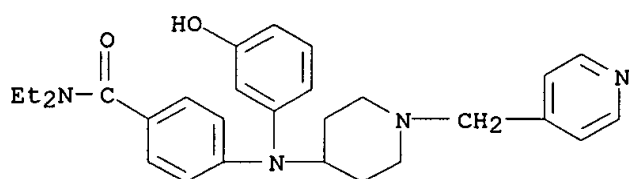
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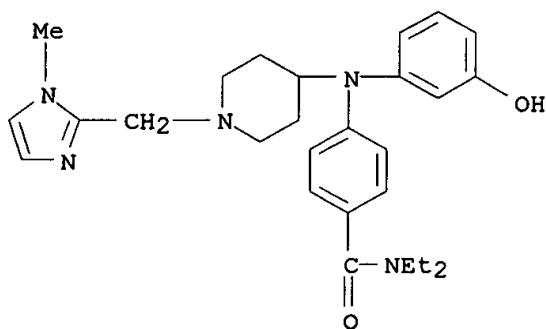
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CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-(4-pyridinylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



RN 346665-35-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-[(1-methyl-1H-imidazol-2-yl)methyl]-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



IT 346665-37-0

RL: RCT (Reactant)

(prepn. of 4-[3-hydroxyphenyl](4-piperidynyl)amino]-N,N-diethylbenzamides useful in the management of pain)

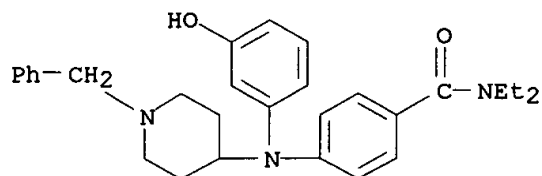
RN 346665-37-0 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-(phenylmethyl)-4-piperidinyl]amino]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 297750-45-9

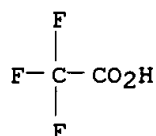
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CM 2

CRN 76-05-1

CMF C2 H F3 O2

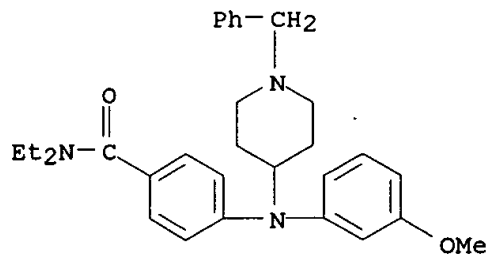


IT 346664-66-2P 346664-67-3P 346664-71-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
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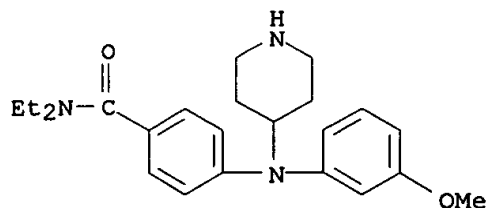
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RN 346664-67-3 CAPLUS

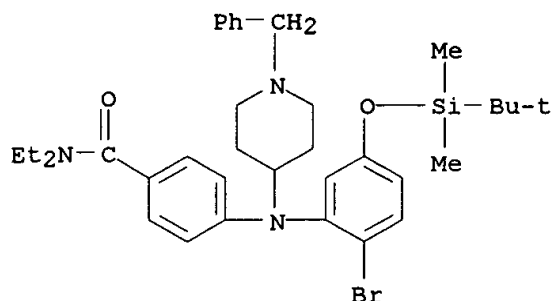
CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)-4-piperidiny] amino]- (9CI)
 (CA INDEX NAME)



RN 346664-71-9 CAPLUS

CN Benzamide,

4-[[2-bromo-5-[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl][1-(phenylmethyl)-4-piperidiny]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)



RE.CNT 3

RE

(1) Astra Pharma Inc; WO 9828270 A1 1998 CAPLUS

(2) Carroll; WO 9945925 A1 1999 CAPLUS

(3) Ortho-McNeil Pharmaceutical Inc; WO 9933806 A1 1999 CAPLUS

L4 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2001 ACS

AN 2001:68711 CAPLUS

DN 134:260870

TI Factors influencing agonist potency and selectivity for the opioid .delta.

receptor are revealed in structure-activity relationship studies of the 4-[(N-Substituted-4-piperidiny]arylamino]-N,N-diethylbenzamides

AU Thomas, James B.; Herault, Xavier M.; Rothman, Richard B.; Atkinson, Robert N.; Burgess, Jason P.; Mascarella, S. Wayne; Dersch, Christina M.; Xu, Heng; Flippen-Anderson, Judith L.; George, Clifford F.; Carroll, F. Ivy

CS Chemistry and Life Sciences, Research Triangle Institute, Research Triangle Park, NC, 27709, USA

SO J. Med. Chem. (2001), 44(6), 972-983
CODEN: JMCMAJ; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

AB A study of the effect of transposition of the internal nitrogen atom for the adjacent benzylic carbon atom in .delta.-selective agonists such as BW373U86 and SNC-80 has been undertaken. It was shown that

high-affinity,

fully efficacious, and .delta. opioid receptor-selective compds. can be obtained from this transposition. In addn. to the N,N-diethylamido group needed as the .delta. address, the structural features identified to promote .delta. receptor affinity in the set of compds. studied included

a

cis relative stereochem. between the 3- and 4-substituents in the piperidine ring, a trans-crotyl or allyl substituent on the basic nitrogen, the lack of a 2-Me group in the piperidine ring, and either no substitution or hydroxyl substitution in the aryl ring not substituted with the N,N-diethylamido group. Structural features found to be important for .mu. affinity include hydroxyl substitution in the aryl

ring, the presence of a 2-Me group in a cis relative relationship to the 4-amino group as well as N-substituents such as cyclopropylmethyl. It was also detd. that μ receptor affinity could be increased while maintaining δ receptor affinity, esp. when hydroxyl-substituted compds. are considered. Addnl., it was discovered that the somewhat lower μ/δ selectivities obsd. for the piperidine compds. relative to the piperazine-based ligands appear to arise as a consequence of the carbon-nitrogen transposition which imparts an overall lower δ and higher μ affinity to the piperidine-based ligands. This higher affinity for the μ receptor, apparently intrinsic to the piperidine-based compds., suggests that ligands of this class will more easily be converted to μ/δ combination agonists compared to the piperazine ligands such as BW373U86. This is particularly important since

analogues of BW373U86, which show both μ - and δ -type activity, are now recognized as important for their strong analgesia and cross-canceling

of many of the side effects found in agonists operating exclusively from either the δ or μ opioid receptor.

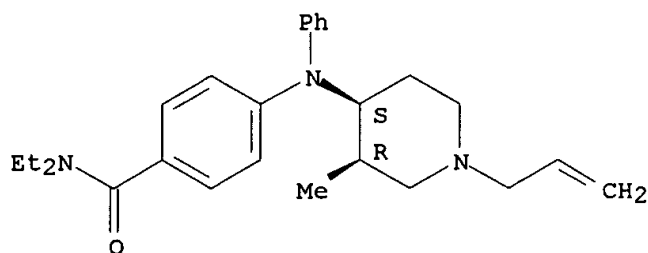
IT 244048-67-7P 331846-45-8P

RL: BAC (Biological activity or effector, except adverse); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and structure-activity relationship studies of analgesic effects of selective opioid δ receptor agonists, 4-[(N-Substituted-4-piperidinyl)arylamino]-N,N-diethylbenzamides)

RN 244048-67-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[[(3R,4S)-3-methyl-1-(2-propenyl)-4-piperidinyl]phenylamino]-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

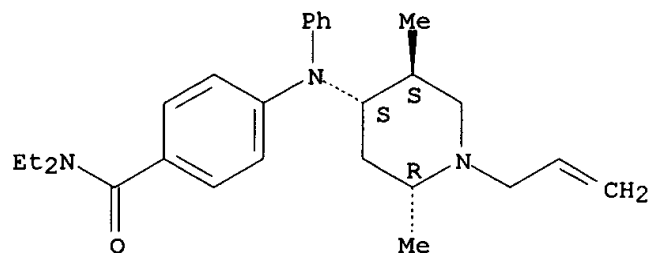


● HCl

RN 331846-45-8 CAPLUS

CN Benzamide, 4-[[(2R,4S,5S)-2,5-dimethyl-1-(2-propenyl)-4-piperidinyl]phenylamino]-N,N-diethyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



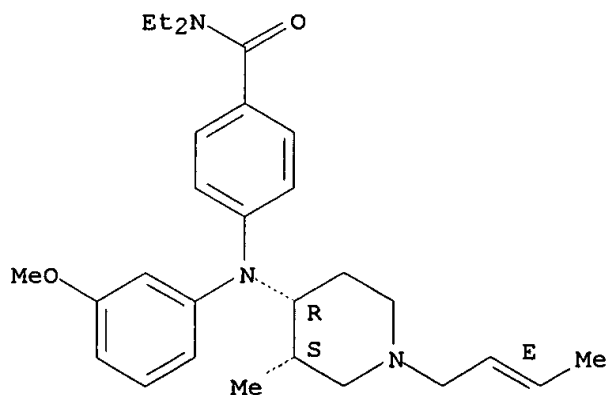
IT 331846-52-7P

RL: BAC (Biological activity or effector, except adverse); RCT
 (Reactant);
 SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. and structure-activity relationship studies of analgesic effects of selective opioid .delta. receptor agonists,
 4-[(N-Substituted-4-piperidinyl)arylamino]-N,N-diethylbenzamides)

RN 331846-52-7 CAPLUS

CN Benzamide, 4-[[(3R,4S)-1-(2E)-2-butenyl-3-methyl-4-piperidinyl] (3-methoxyphenyl)amino]-N,N-diethyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
 Double bond geometry as shown.



● HCl

IT 229478-48-2P 244048-63-3P 244048-65-5P
 244048-68-8P 258267-76-4P 258267-77-5P
 331846-43-6P 331846-44-7P 331846-46-9P
 331846-47-0P 331846-48-1P 331846-49-2P
 331846-50-5P 331846-51-6P 331846-53-8P
 331846-54-9P 331846-55-0P 331846-56-1P
 331846-57-2P 331846-58-3P 331846-59-4P
 331846-60-7P 331846-61-8P 331846-62-9P
 331846-63-0P

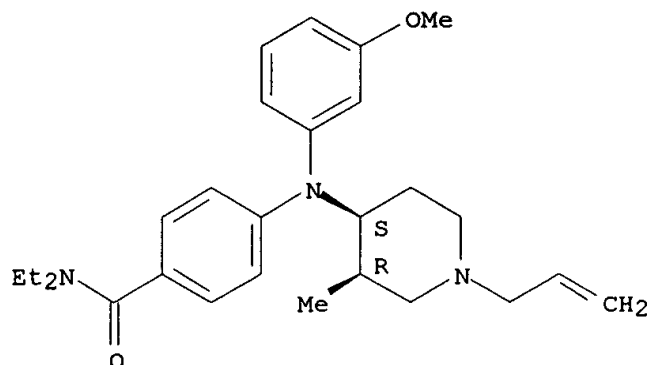
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic

preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)
(prepn. and structure-activity relationship studies of analgesic
effects of selective opioid .delta. receptor agonists,
4-[(N-Substituted-4-piperidiny]arylamino]-N,N-diethylbenzamides)

RN 229478-48-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)[(3R,4S)-3-methyl-1-(2-propenyl)-4-piperidiny]amino]-, rel- (9CI) (CA INDEX NAME)

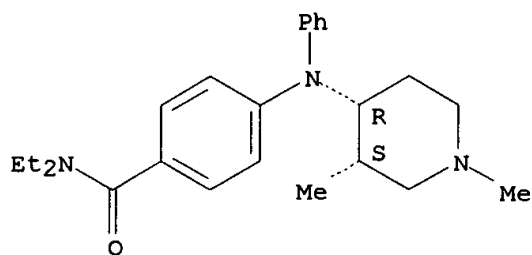
Relative stereochemistry.



RN 244048-63-3 CAPLUS

CN Benzamide,
4-[(3R,4S)-1,3-dimethyl-4-piperidiny]phenylamino]-N,N-diethyl-
, rel- (9CI) (CA INDEX NAME)

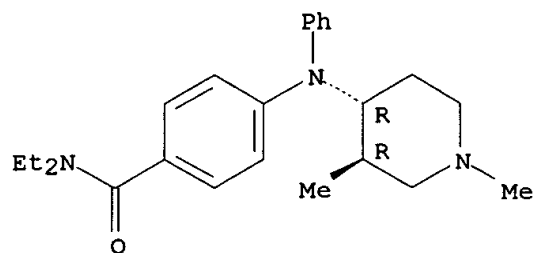
Relative stereochemistry.



RN 244048-65-5 CAPLUS

CN Benzamide,
4-[(3R,4R)-1,3-dimethyl-4-piperidiny]phenylamino]-N,N-diethyl-
, rel- (9CI) (CA INDEX NAME)

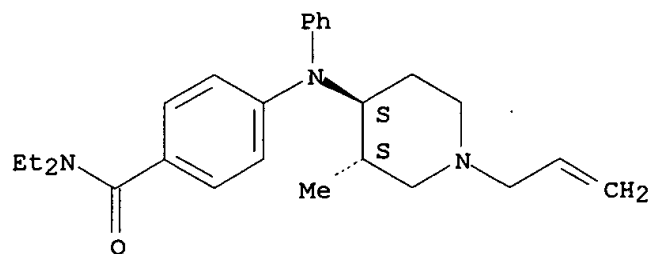
Relative stereochemistry.



RN 244048-68-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[[(3R,4R)-3-methyl-1-(2-propenyl)-4-piperidinyl]phenylamino]-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

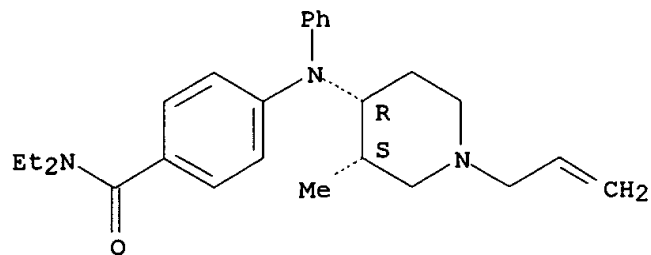


● HCl

RN 258267-76-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[[(3S,4R)-3-methyl-1-(2-propenyl)-4-piperidinyl]phenylamino]- (9CI) (CA INDEX NAME)

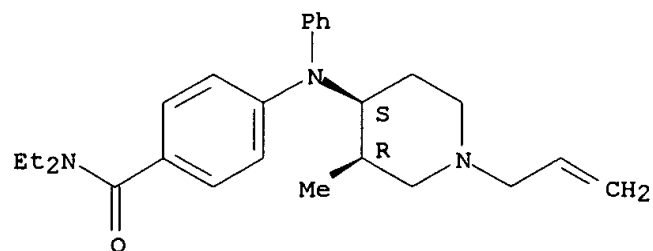
Absolute stereochemistry. Rotation (-).



RN 258267-77-5 CAPLUS

CN Benzamide, N,N-diethyl-4-[[(3R,4S)-3-methyl-1-(2-propenyl)-4-piperidinyl]phenylamino]- (9CI) (CA INDEX NAME)

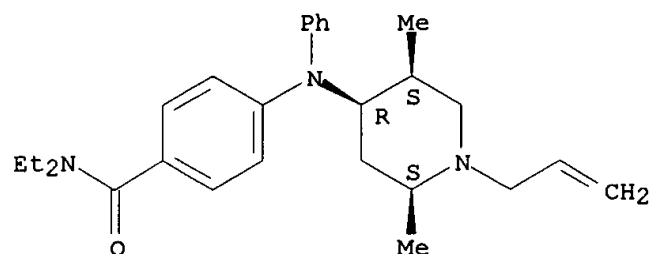
Absolute stereochemistry. Rotation (+).



RN 331846-43-6 CAPLUS

CN Benzamide, 4-[[(2R,4S,5R)-2,5-dimethyl-1-(2-propenyl)-4-piperidiny]phenylamino]-N,N-diethyl-, rel- (9CI) (CA INDEX NAME)

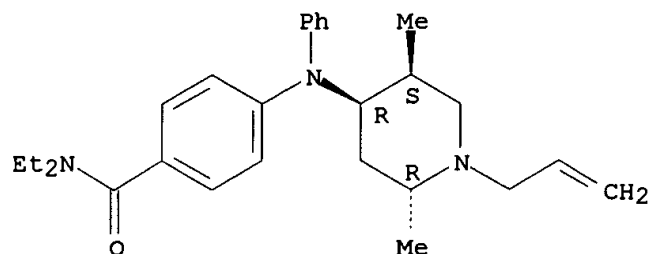
Relative stereochemistry.



RN 331846-44-7 CAPLUS

CN Benzamide, 4-[[(2R,4R,5S)-2,5-dimethyl-1-(2-propenyl)-4-piperidiny]phenylamino]-N,N-diethyl-, rel- (9CI) (CA INDEX NAME)

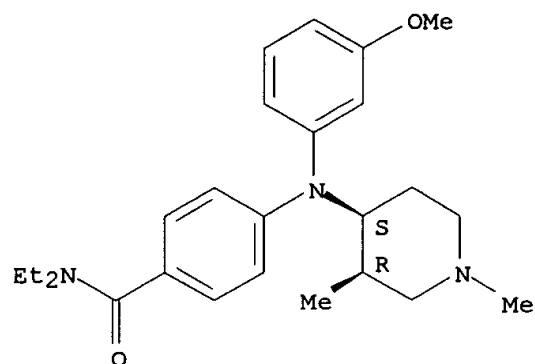
Relative stereochemistry.



RN 331846-46-9 CAPLUS

CN Benzamide,
4-[[(3R,4S)-1,3-dimethyl-4-piperidiny] (3-methoxyphenyl) amino]-
N,N-diethyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

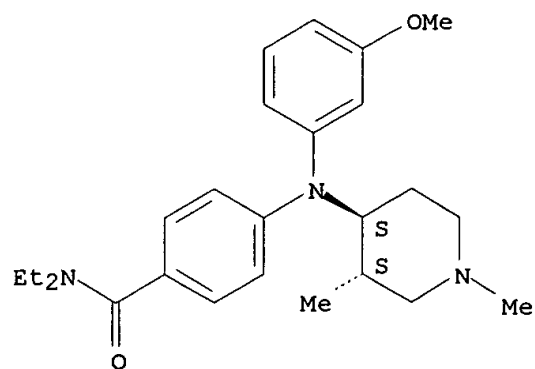


RN 331846-47-0 CAPLUS

CN Benzamide,

4-[[(3R,4R)-1,3-dimethyl-4-piperidiny] (3-methoxyphenyl) amino]-
N,N-diethyl-, rel- (9CI) (CA INDEX NAME)

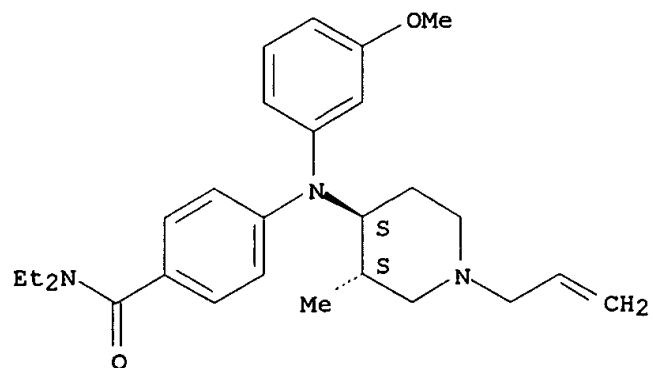
Relative stereochemistry.



RN 331846-48-1 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl) [(3R,4R)-3-methyl-1-(2-propenyl)-4-piperidiny] amino]-, rel- (9CI) (CA INDEX NAME)

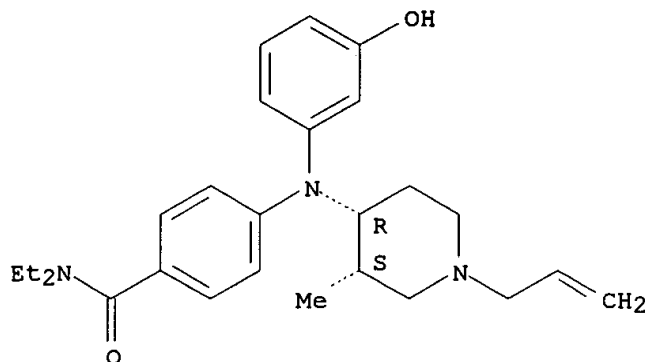
Relative stereochemistry.



RN 331846-49-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[(3R,4S)-3-methyl-1-(2-propenyl)-4-piperidinyl]amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

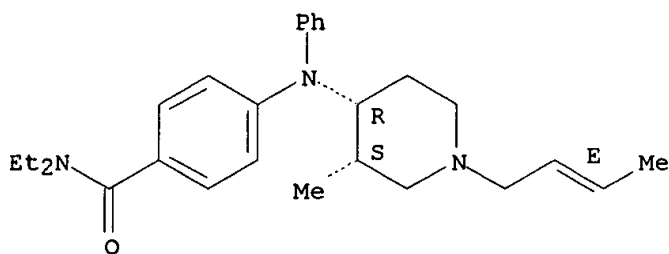


RN 331846-50-5 CAPLUS

CN Benzamide, 4-[[[(3R,4S)-1-(2E)-2-butenyl-3-methyl-4-piperidinyl]phenylamino]-N,N-diethyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry as shown.



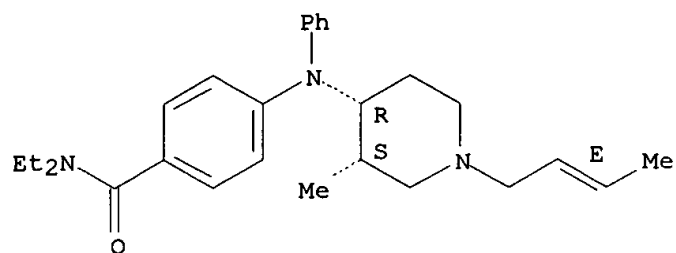
● HCl

RN 331846-51-6 CAPLUS

CN Benzamide, 4-[[[(3S,4R)-1-(2E)-2-butenyl-3-methyl-4-piperidinyl]phenylamino]-N,N-diethyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

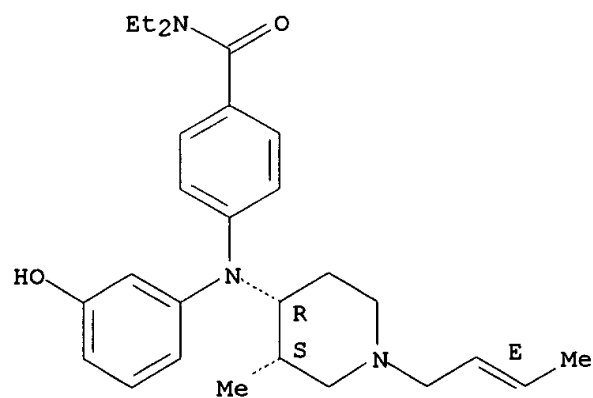
Double bond geometry as shown.



● HCl

RN 331846-53-8 CAPLUS
 CN Benzamide, 4-[[[(3R,4S)-1-(2E)-2-butenyl-3-methyl-4-piperidiny]](3-hydroxyphenyl)amino]-N,N-diethyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

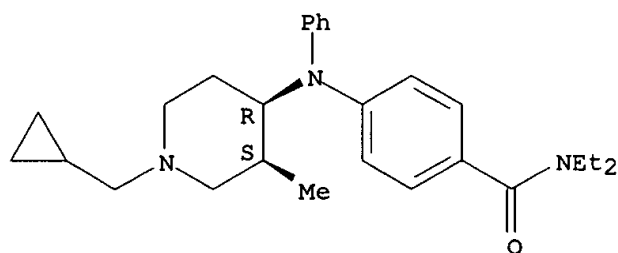
Relative stereochemistry.
 Double bond geometry as shown.



● HCl

RN 331846-54-9 CAPLUS
 CN Benzamide, 4-[[[(3R,4S)-1-(cyclopropylmethyl)-3-methyl-4-piperidiny]]phenylamino]-N,N-diethyl-, rel- (9CI) (CA INDEX NAME)

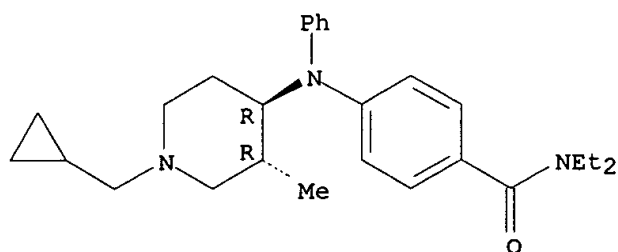
Relative stereochemistry.



RN 331846-55-0 CAPLUS

CN Benzamide, 4-[[(3R,4R)-1-(cyclopropylmethyl)-3-methyl-4-piperidinyl]phenylamino]-N,N-diethyl-, rel- (9CI) (CA INDEX NAME)

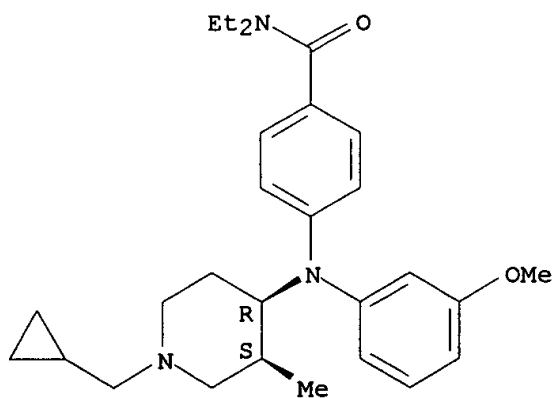
Relative stereochemistry.



RN 331846-56-1 CAPLUS

CN Benzamide, 4-[[(3R,4S)-1-(cyclopropylmethyl)-3-methyl-4-piperidinyl] (3-methoxyphenyl)amino]-N,N-diethyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



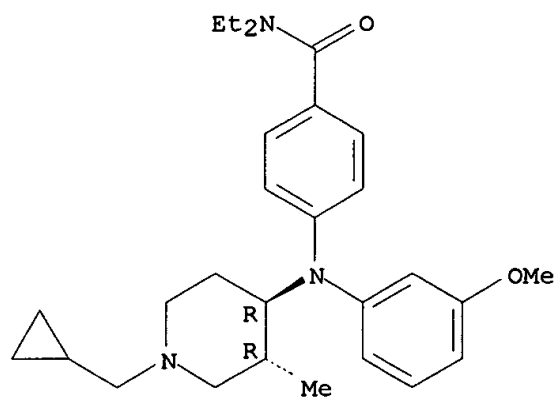
HCl

RN 331846-57-2 CAPLUS

CN Benzamide, 4-[[(3R,4R)-1-(cyclopropylmethyl)-3-methyl-4-piperidinyl] (3-

methoxyphenyl)amino]-N,N-diethyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

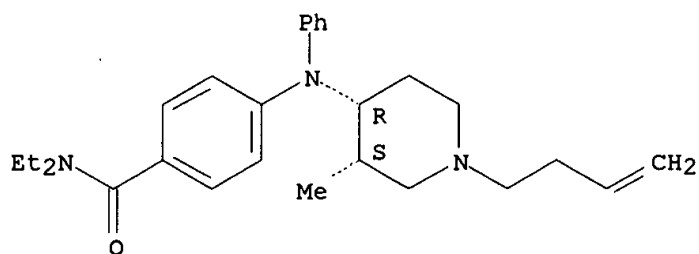


● HCl

RN 331846-58-3 CAPLUS

CN Benzamide, 4-[[(3R,4S)-1-(3-butenyl)-3-methyl-4-piperidiny]phenylamino]-N,N-diethyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

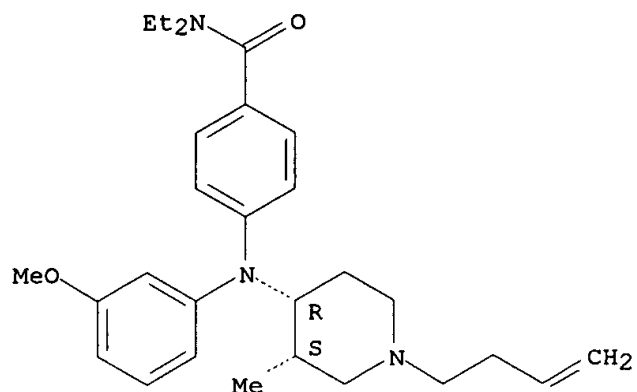


● HCl

RN 331846-59-4 CAPLUS

CN Benzamide, 4-[[(3R,4S)-1-(3-butenyl)-3-methyl-4-piperidiny] (3-methoxyphenyl)amino]-N,N-diethyl-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

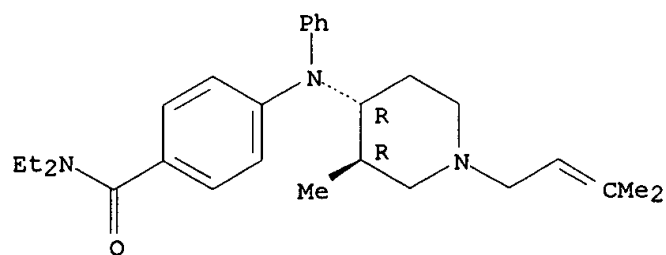
Relative stereochemistry.



● HCl

RN 331846-60-7 CAPLUS
 CN Benzamide, N,N-diethyl-4-[[(3R,4R)-3-methyl-1-(3-methyl-2-butenyl)-4-piperidinyl]phenylamino]-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

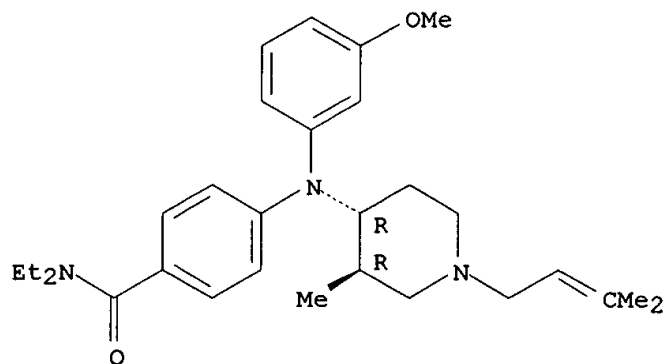
Relative stereochemistry.



● HCl

RN 331846-61-8 CAPLUS
 CN Benzamide,
 N,N-diethyl-4-[(3-methoxyphenyl) [(3R,4R)-3-methyl-1-(3-methyl-2-butenyl)-4-piperidinyl]amino]-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

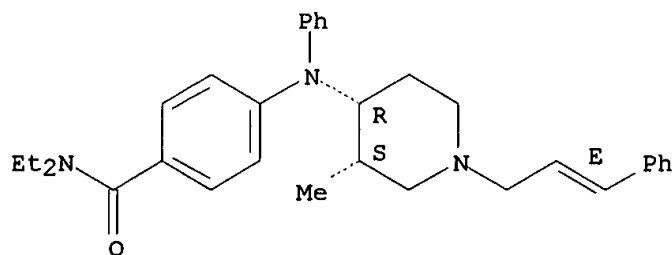
RN 331846-62-9 CAPLUS

CN Benzamide,

N,N-diethyl-4-[[(3R, 4S)-3-methyl-1-[(2E)-3-phenyl-2-propenyl]-4-piperidinyl]phenylamino]-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry as shown.



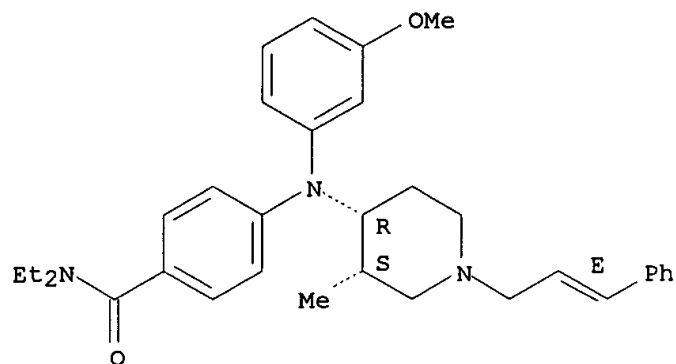
● HCl

RN 331846-63-0 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)[(3R, 4S)-3-methyl-1-[(2E)-3-phenyl-2-propenyl]-4-piperidinyl]amino]-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry as shown.



● HCl

RE.CNT 42

RE

- (1) Abdel-Magid, A; J Org Chem 1996, V61, P3849 CAPLUS
- (3) Barn, D; Bioorg Med Chem Lett 1999, V9, P1329 CAPLUS
- (5) Boyd, R; Bioorg Med Chem Lett 2000, V10, P1109 CAPLUS
- (7) Calderon, S; J Med Chem 1994, V37, P2125 CAPLUS
- (8) Carson, J; WO 9933806 1999 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2001 ACS

AN 2000:530560 CAPLUS

DN 133:261089

TI Synthesis and evaluation of 4-(N,N-diarylamino)piperidines with high selectivity to the .delta.-opioid receptor: a combined 3D-QSAR and ligand docking study

AU Podlogar, Brent L.; Poda, Gennady I.; Demeter, David A.; Zhang, Sui-Po; Carson, John R.; Neilson, Lou Anne; Reitz, Allen B.; Ferguson, David M.

CS Department of Chemistry, Bayer Research Center, West Haven, CT, 06516, USA

SO Drug Des. Discovery (2000), 17(1), 34-50
CODEN: DDDIEV; ISSN: 1055-9612

PB Harwood Academic Publishers

DT Journal

LA English

AB A series of 4-(N,N-diarylamino)piperidines are synthesized and evaluated for high affinity binding and selectivity to the &-opioid receptor using

a

combination of 3D-QSAR and mol. docking techniques. Based on exptl. ligand binding data to both .mu.- and .delta.-opioid receptors, CoMFA fields are generated and applied to identify potential ligand modifications to further optimize lead compds. Mol. docking expts. to

the

.delta.-receptor are also reported that explain the CoMFA trends

predicted

as well as the differential binding and selectivity displayed by various compds. in the series. An anal. of the binding site model proposed indicates the piperidines take advantage of 3 key sites or binding

domains

within the .delta.-receptor. These include an arom. pocket (approx. 1/3 into the receptor cavity), an aspartic acid residue (which serves as a docking point for the piperidinyl cationic amine) and a hydrophobic pocket at the extracellular boundary of the receptor cavity. Links are established between ligand modification and amino acid compn. at these sites in .mu. and .delta., providing new insight to the structural basis to binding and selectivity across the series and for related piperazines (i.e. SNC80 and BW373U86). Results are also presented that indicate .delta.- and .mu.-selectivity may be detd. at alternate sites, suggesting opioid receptors may display multiple binding domains. The model is further supported by comparisons with opiate binding modes and site directed mutagenesis studies and is finally applied to suggest new strategies in ligand design.

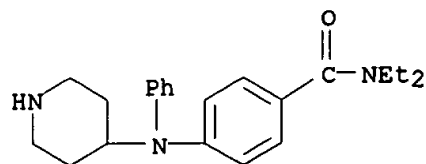
IT 209902-09-0 209902-14-7 229477-67-2
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 297750-67-5

RL: BPR (Biological process); PRP (Properties); BIOL (Biological study); PROC (Process)

(synthesis and evaluation of (diaryl-amino)piperidines with high selectivity to .delta.-opioid receptor over .mu.-opioid receptor using combined 3D-QSAR and ligand docking study)

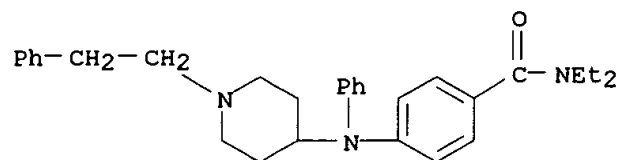
RN 209902-09-0 CAPLUS

CN Benzamide, N,N-diethyl-4-(phenyl-4-piperidinyl-amino)- (9CI) (CA INDEX NAME)



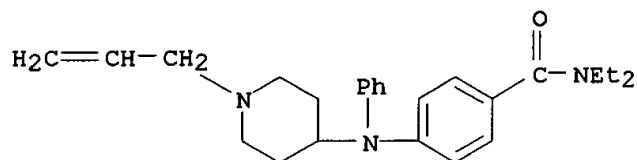
RN 209902-14-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl[1-(2-phenylethyl)-4-piperidinyl]amino]-
(9CI) (CA INDEX NAME)



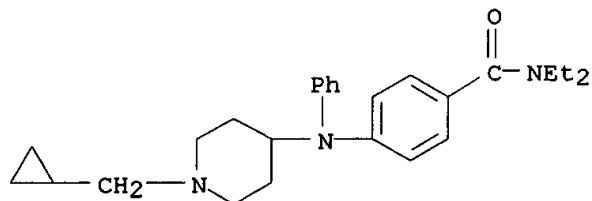
RN 229477-67-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl[1-(2-propenyl)-4-piperidinyl]amino]-
(9CI) (CA INDEX NAME)



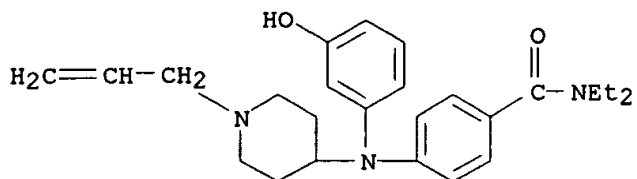
RN 229477-68-3 CAPLUS

CN Benzamide, 4-[[1-(cyclopropylmethyl)-4-piperidinyl]phenylamino]-N,N-diethyl- (9CI) (CA INDEX NAME)



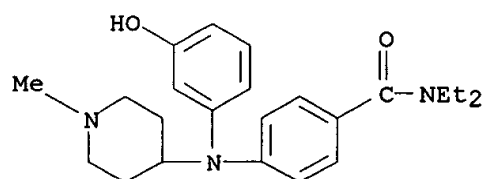
RN 229477-69-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-(2-propenyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

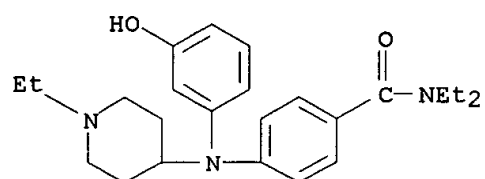


RN 229477-72-9 CAPLUS

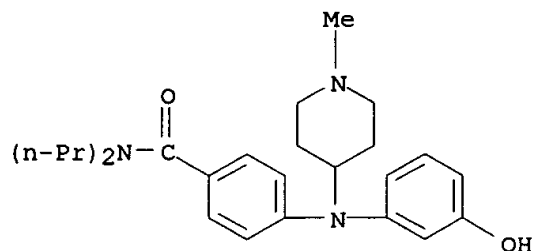
CN Benzamide,
N,N-diethyl-4-[(3-hydroxyphenyl)(1-methyl-4-piperidinyl)amino]-
(9CI) (CA INDEX NAME)



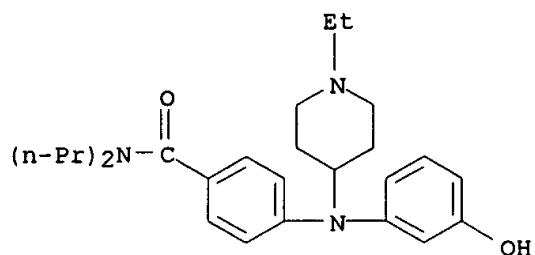
RN 229477-73-0 CAPLUS
 CN Benzamide, N,N-diethyl-4-[(1-ethyl-4-piperidinyl)(3-hydroxyphenyl)amino]-
 (9CI) (CA INDEX NAME)



RN 229477-75-2 CAPLUS
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 4-[(3-hydroxyphenyl)(1-methyl-4-piperidinyl)amino]-N,N-dipropyl-
 (9CI) (CA INDEX NAME)

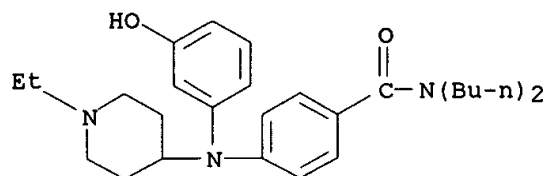


RN 229477-76-3 CAPLUS
 CN Benzamide,
 4-[(1-ethyl-4-piperidinyl)(3-hydroxyphenyl)amino]-N,N-dipropyl-
 (9CI) (CA INDEX NAME)



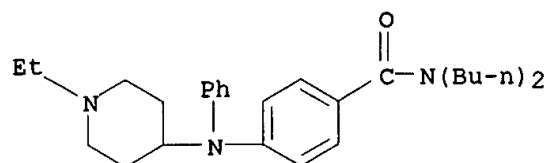
RN 229477-79-6 CAPLUS

CN Benzamide, N,N-dibutyl-4-[(1-ethyl-4-piperidiny1) (3-hydroxyphenyl) amino]-
(9CI) (CA INDEX NAME)



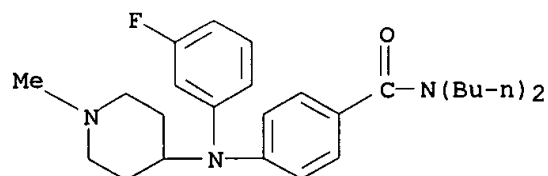
RN 229477-82-1 CAPLUS

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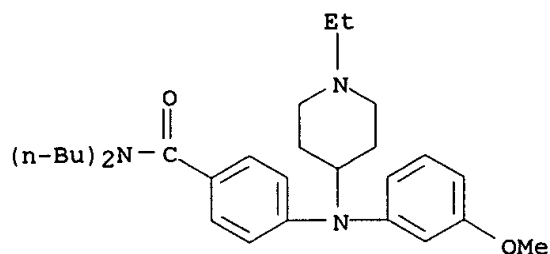
RN 229477-84-3 CAPLUS

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(9CI) (CA INDEX NAME)



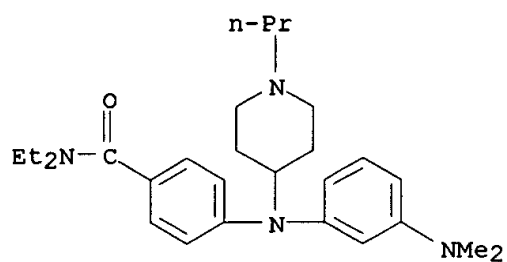
RN 229477-88-7 CAPLUS

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(9CI) (CA INDEX NAME)

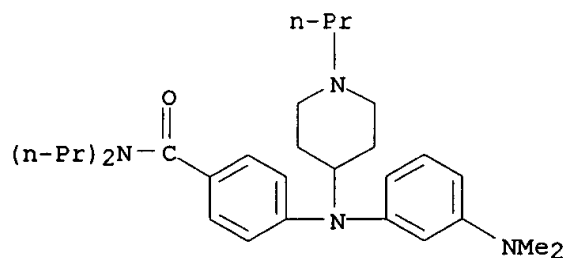


RN 229477-94-5 CAPLUS

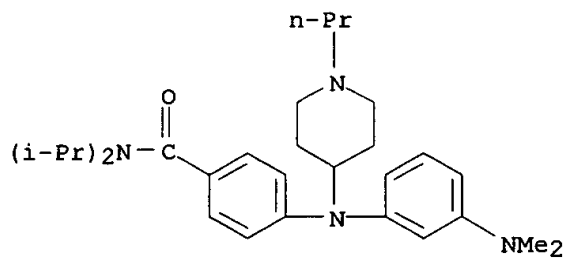
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4-[[3-(dimethylamino)phenyl] (1-propyl-4-piperidiny1) amino]-N,N-
diethyl- (9CI) (CA INDEX NAME)



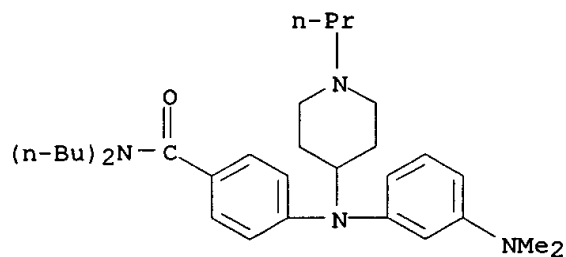
RN 229477-95-6 CAPLUS
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 4-[[3-(dimethylamino)phenyl](1-propyl-4-piperidinyl)amino]-N,N-
 dipropyl- (9CI) (CA INDEX NAME)



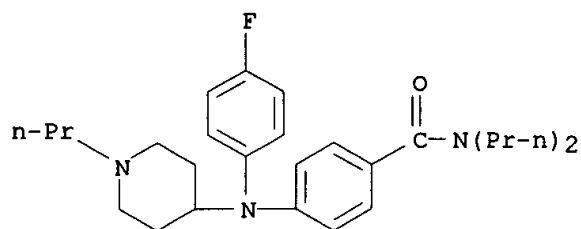
RN 229477-96-7 CAPLUS
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 4-[[3-(dimethylamino)phenyl](1-propyl-4-piperidinyl)amino]-N,N-
 bis(1-methylethyl)- (9CI) (CA INDEX NAME)



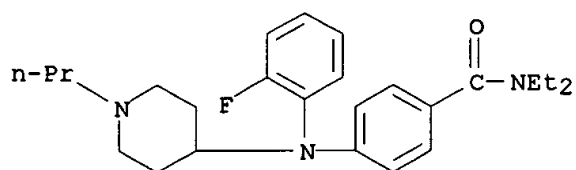
RN 229477-97-8 CAPLUS
 CN Benzamide, N,N-dibutyl-4-[[3-(dimethylamino)phenyl](1-propyl-4-
 piperidinyl)amino]- (9CI) (CA INDEX NAME)



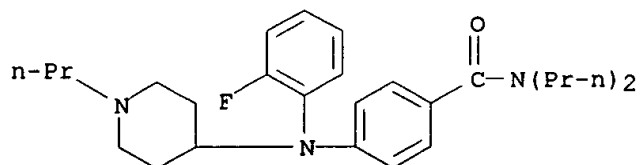
RN 229478-00-6 CAPLUS
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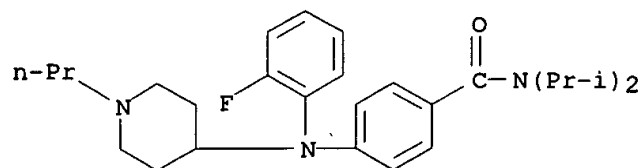
RN 229478-03-9 CAPLUS
 CN Benzamide, N,N-diethyl-4-[(2-fluorophenyl)(1-propyl-4-piperidinyloxy)amino]-
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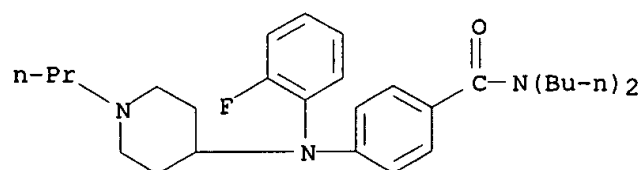
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 CN Benzamide,
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 (9CI) (CA INDEX NAME)



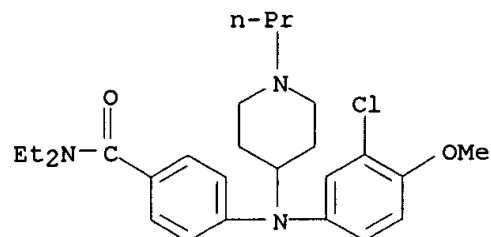
RN 229478-05-1 CAPLUS
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 methylethyl)- (9CI) (CA INDEX NAME)



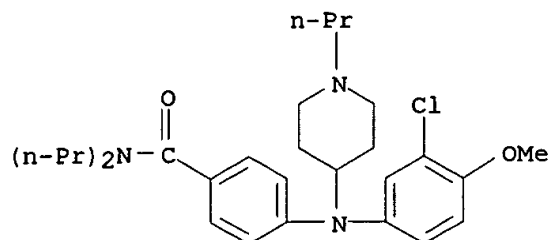
RN 229478-06-2 CAPLUS
 CN Benzamide, N,N-dibutyl-4-[(2-fluorophenyl)(1-propyl-4-piperidinyl)amino]-
 (9CI) (CA INDEX NAME)



RN 229478-07-3 CAPLUS
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 4-[(3-chloro-4-methoxyphenyl)(1-propyl-4-piperidinyl)amino]-N,N-
 diethyl- (9CI) (CA INDEX NAME)

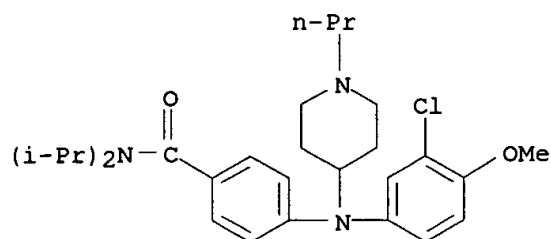


RN 229478-08-4 CAPLUS
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 dipropyl- (9CI) (CA INDEX NAME)

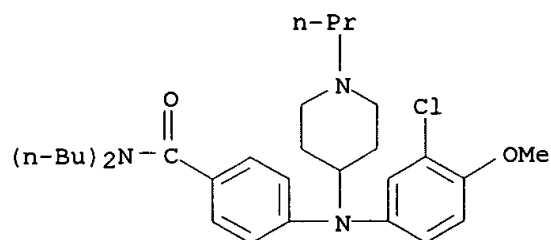


RN 229478-09-5 CAPLUS

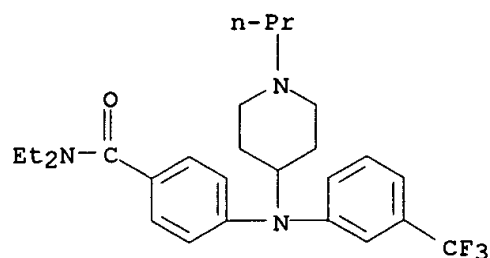
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bis(1-methylethyl)- (9CI) (CA INDEX NAME)



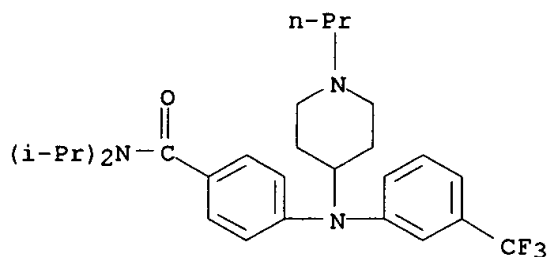
RN 229478-10-8 CAPLUS
CN Benzamide, N,N-dibutyl-4-[(3-chloro-4-methoxyphenyl)(1-propyl-4-
piperidiny]amino]- (9CI) (CA INDEX NAME)



RN 229478-11-9 CAPLUS
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(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)

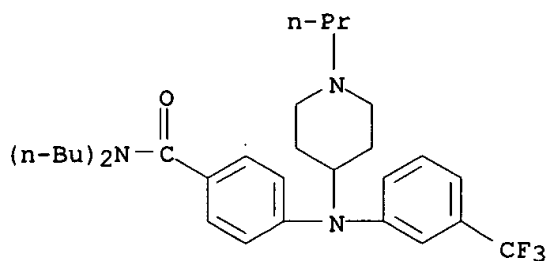


RN 229478-14-2 CAPLUS
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(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)



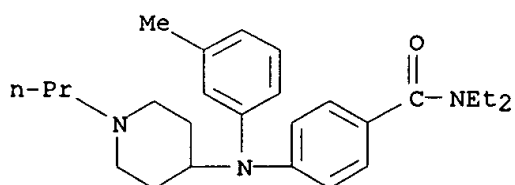
RN 229478-15-3 CAPLUS

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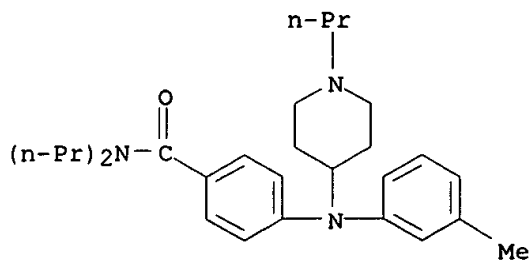
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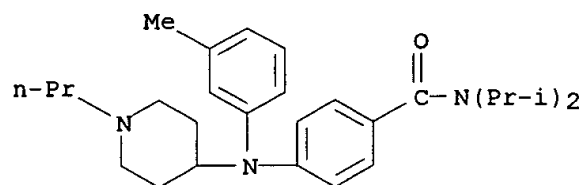
RN 229478-21-1 CAPLUS

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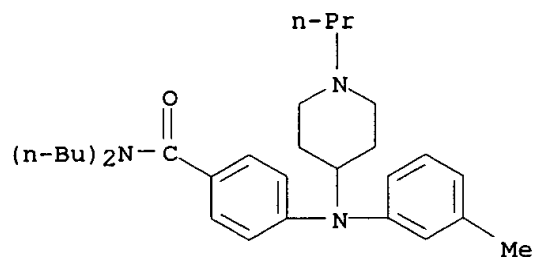
RN 229478-22-2 CAPLUS

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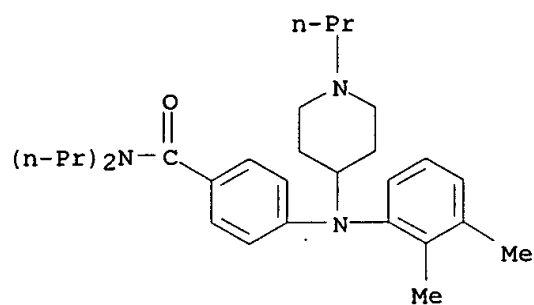
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CN Benzamide, N,N-dibutyl-4-[(3-methylphenyl)(1-propyl-4-piperidiny]amino]- (9CI) (CA INDEX NAME)



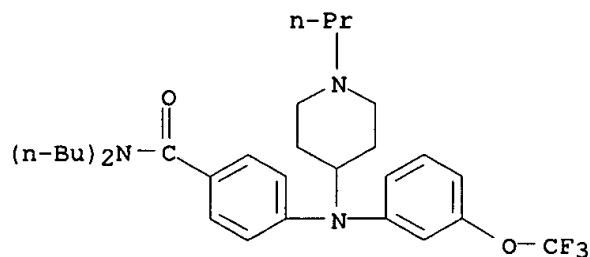
RN 229478-30-2 CAPLUS

CN Benzamide, 4-[(2,3-dimethylphenyl)(1-propyl-4-piperidiny]amino]-N,N-dipropyl- (9CI) (CA INDEX NAME)



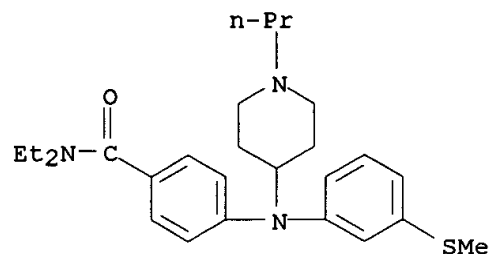
RN 229478-37-9 CAPLUS

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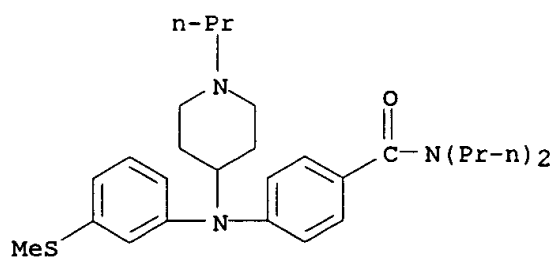
RN 229478-38-0 CAPLUS

CN Benzamide, N,N-diethyl-4-[[3-(methylthio)phenyl](1-propyl-4-piperidinyloxy)amino]- (9CI) (CA INDEX NAME)



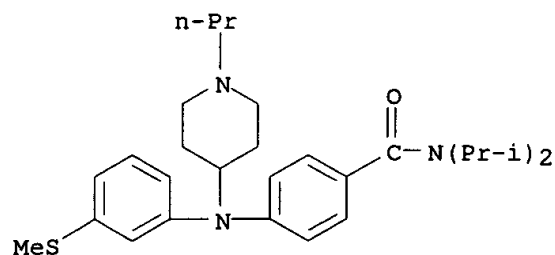
RN 229478-39-1 CAPLUS

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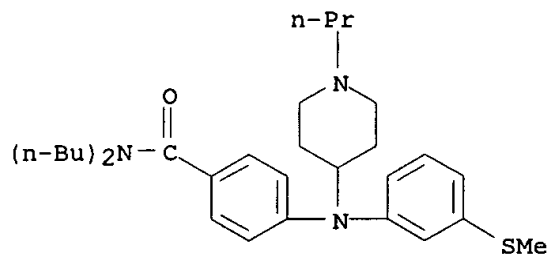


RN 229478-40-4 CAPLUS

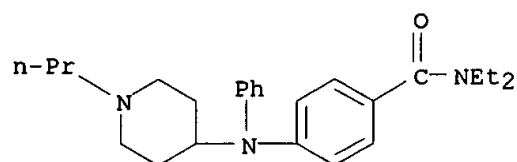
CN Benzamide, N,N-bis(1-methylethyl)-4-[[3-(methylthio)phenyl](1-propyl-4-piperidinyloxy)amino]- (9CI) (CA INDEX NAME)



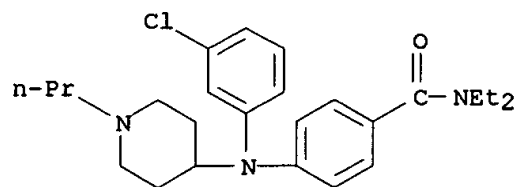
RN 229478-41-5 CAPLUS
 CN Benzamide, N,N-dibutyl-4-[[3-(methylthio)phenyl](1-propyl-4-piperidinyloxy)amino]- (9CI) (CA INDEX NAME)



RN 229478-58-4 CAPLUS
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 (CA INDEX NAME)

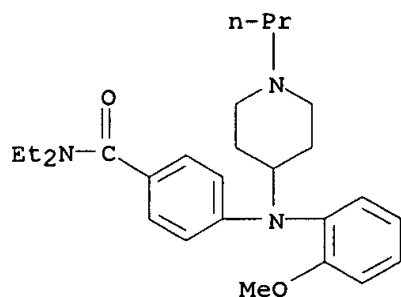


RN 229478-94-8 CAPLUS
 CN Benzamide, 4-[(3-chlorophenyl)(1-propyl-4-piperidinyloxy)amino]-N,N-diethyl- (9CI) (CA INDEX NAME)



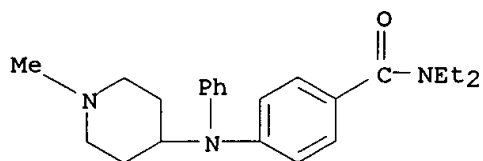
RN 229478-96-0 CAPLUS
 CN Benzamide,
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(9CI) (CA INDEX NAME)



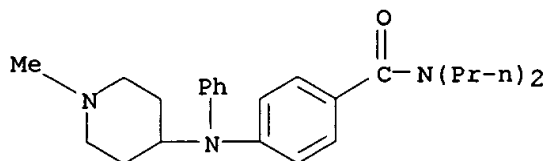
RN 229479-00-9 CAPLUS

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 (CA INDEX NAME)



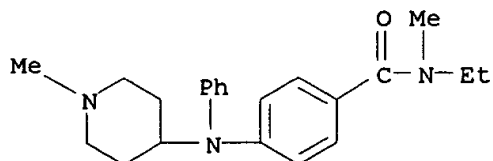
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 (CA INDEX NAME)



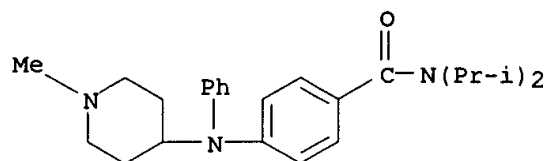
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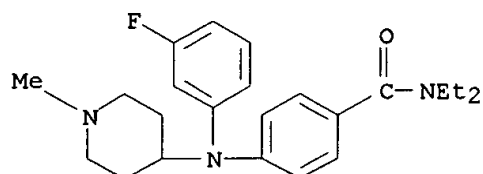


RN 229479-03-2 CAPLUS

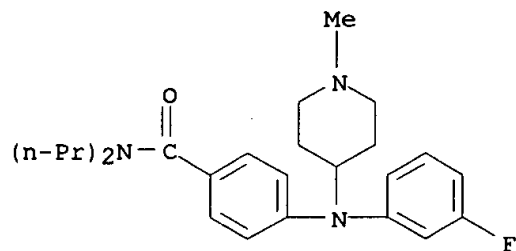
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N,N-bis(1-methylethyl)-4-[(1-methyl-4-piperidiny)phenylamino]-
(9CI) (CA INDEX NAME)



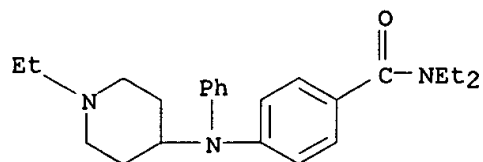
RN 229479-15-6 CAPLUS
CN Benzamide, N,N-diethyl-4-[(3-fluorophenyl)(1-methyl-4-piperidiny)amino]-
(9CI) (CA INDEX NAME)



RN 229479-16-7 CAPLUS
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4-[(3-fluorophenyl)(1-methyl-4-piperidiny)amino]-N,N-dipropyl-
(9CI) (CA INDEX NAME)

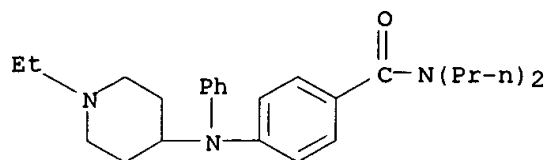


RN 229479-23-6 CAPLUS
CN Benzamide, N,N-diethyl-4-[(1-ethyl-4-piperidiny)phenylamino]- (9CI) (CA
INDEX NAME)

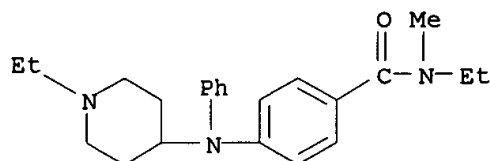


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(CA

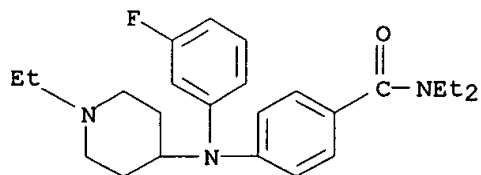
INDEX NAME)



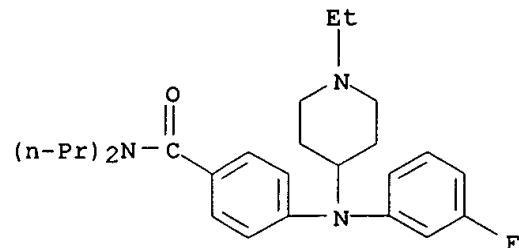
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RN 229479-30-5 CAPLUS

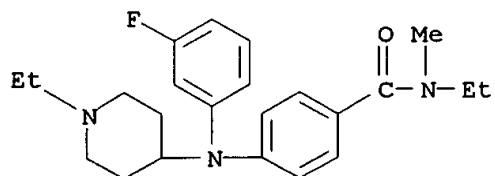
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RN 229479-31-6 CAPLUS

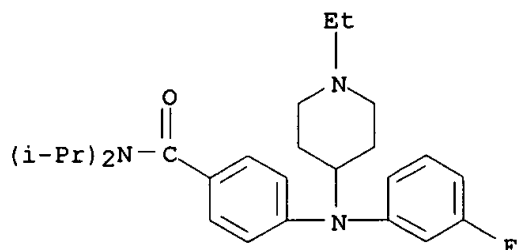
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(9CI) (CA INDEX NAME)

RN 229479-32-7 CAPLUS

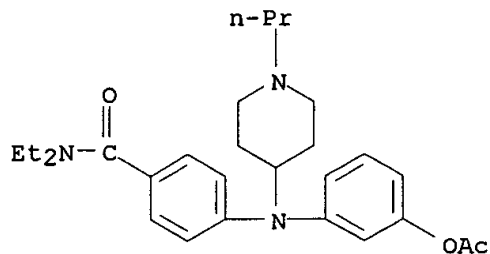
CN Benzamide, N-ethyl-4-[(1-ethyl-4-piperidinyl)(3-fluorophenyl)amino]-N-
methyl- (9CI) (CA INDEX NAME)



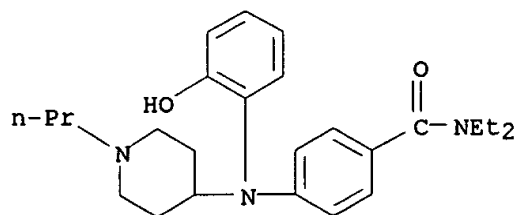
RN 229479-33-8 CAPLUS
 CN Benzamide, 4-[(1-ethyl-4-piperidinyl)(3-fluorophenyl)amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 229479-38-3 CAPLUS
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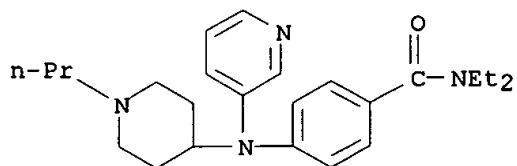


RN 297750-36-8 CAPLUS
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 N,N-diethyl-4-[(2-hydroxyphenyl)(1-propyl-4-piperidinyl)amino]-
 (9CI) (CA INDEX NAME)



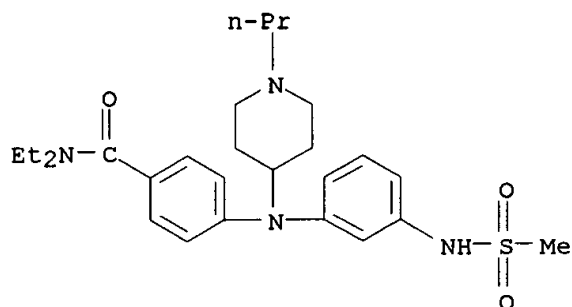
RN 297750-38-0 CAPLUS
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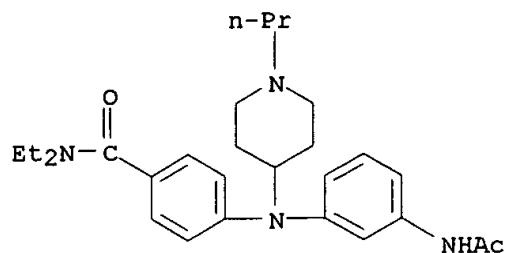
RN 297750-40-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(methysulfonyl)amino]phenyl] (1-propyl-4-piperidinyl)amino]- (9CI) (CA INDEX NAME)



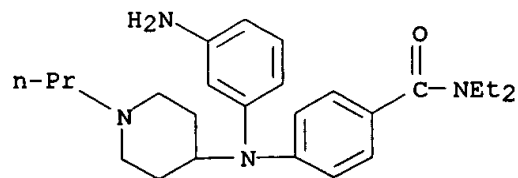
RN 297750-42-6 CAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl] (1-propyl-4-piperidinyl)amino]-N,N-diethyl- (9CI) (CA INDEX NAME)



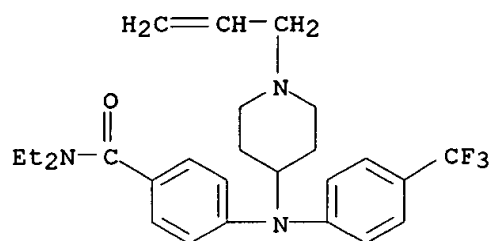
RN 297750-43-7 CAPLUS

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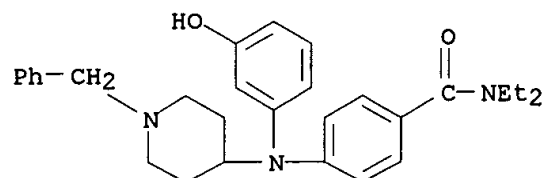
RN 297750-44-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[[1-(2-propenyl)-4-piperidiny]] [4-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)



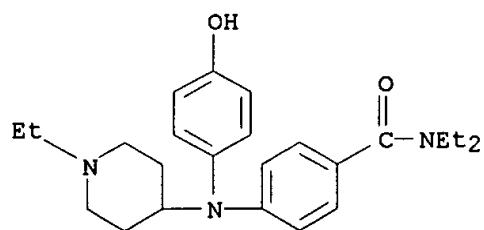
RN 297750-45-9 CAPLUS

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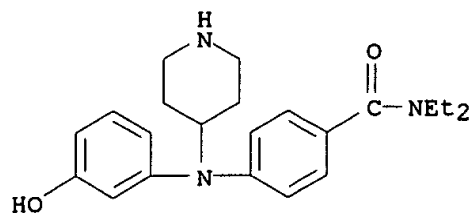
RN 297750-46-0 CAPLUS

CN Benzamide, N,N-diethyl-4-[(1-ethyl-4-piperidiny)] (4-hydroxyphenyl) amino]- (9CI) (CA INDEX NAME)



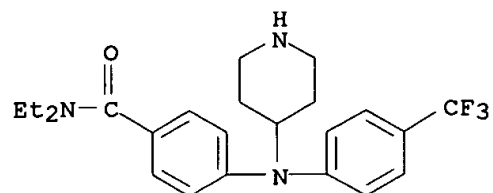
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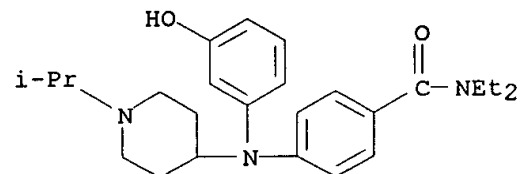
RN 297750-50-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[4-piperidinyl[4-(trifluoromethyl)phenyl]amino]-
(9CI) (CA INDEX NAME)



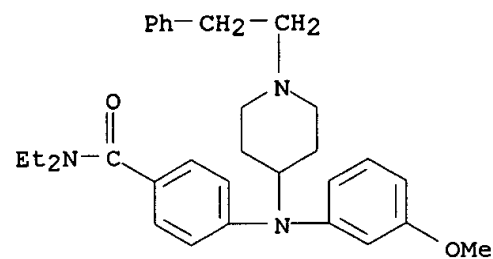
RN 297750-51-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-(1-methylethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



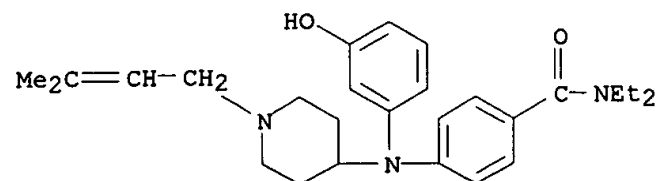
RN 297750-52-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)[1-(2-phenylethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



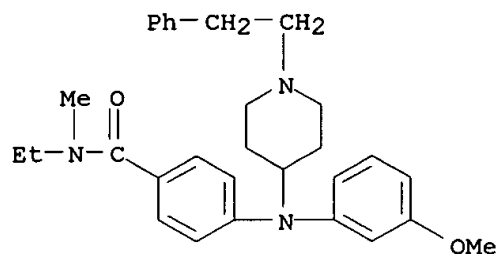
RN 297750-55-1 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-(3-methyl-2-butenyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



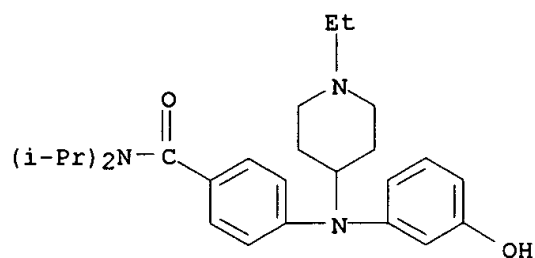
RN 297750-56-2 CAPLUS

CN Benzamide, N-ethyl-4-[(3-methoxyphenyl)[1-(2-phenylethyl)-4-piperidinyl]amino]-N-methyl- (9CI) (CA INDEX NAME)



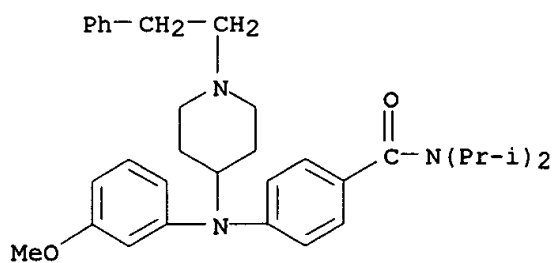
RN 297750-57-3 CAPLUS

CN Benzamide, 4-[(1-ethyl-4-piperidinyl)(3-hydroxyphenyl)amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)



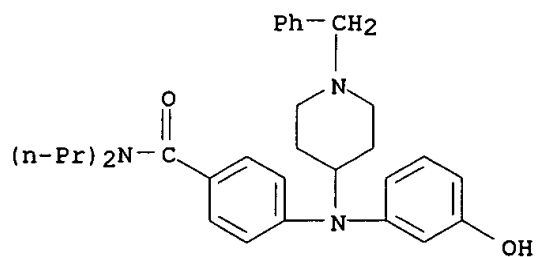
RN 297750-58-4 CAPLUS

CN Benzamide,
4-[(3-methoxyphenyl)[1-(2-phenylethyl)-4-piperidinyl]amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 297750-59-5 CAPLUS

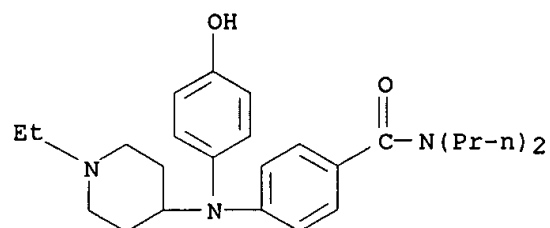
CN Benzamide,
4-[(3-hydroxyphenyl)[1-(phenylmethyl)-4-piperidinyl]amino]-N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 297750-60-8 CAPLUS

CN Benzamide,

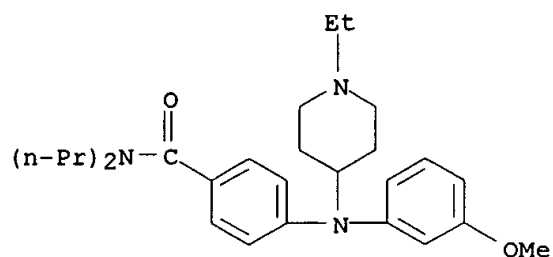
4-[(1-ethyl-4-piperidinyl)(4-hydroxyphenyl)amino]-N,N-dipropyl-
(9CI) (CA INDEX NAME)



RN 297750-61-9 CAPLUS

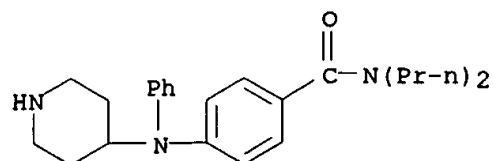
CN Benzamide,

4-[(1-ethyl-4-piperidinyl)(3-methoxyphenyl)amino]-N,N-dipropyl-
(9CI) (CA INDEX NAME)

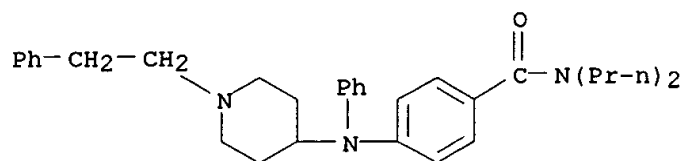


RN 297750-63-1 CAPLUS

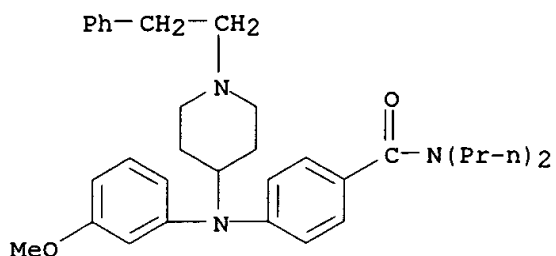
CN Benzamide, 4-(phenyl-4-piperidinylamino)-N,N-dipropyl- (9CI) (CA INDEX
NAME)



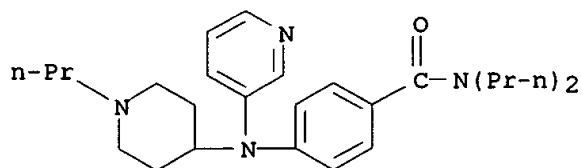
RN 297750-64-2 CAPLUS
 CN Benzamide, 4-[phenyl[1-(2-phenylethyl)-4-piperidinyl]amino]-N,N-dipropyl-
 (9CI) (CA INDEX NAME)



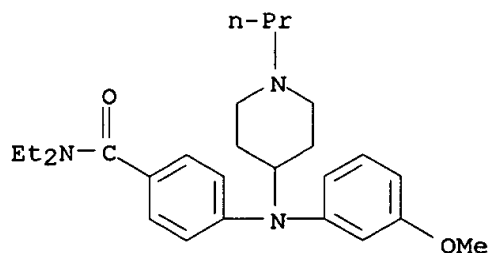
RN 297750-65-3 CAPLUS
 CN Benzamide,
 4-[(3-methoxyphenyl)[1-(2-phenylethyl)-4-piperidinyl]amino]-N,N-
 dipropyl- (9CI) (CA INDEX NAME)



RN 297750-67-5 CAPLUS
 CN Benzamide, N,N-dipropyl-4-[(1-propyl-4-piperidinyl)-3-pyridinylamino]-
 (9CI) (CA INDEX NAME)



IT 229478-91-5P
 RL: BPR (Biological process); PRP (Properties); RCT (Reactant); SPN
 (Synthetic preparation); BIOL (Biological study); PREP (Preparation);
 PROC
 (Process)
 (synthesis and evaluation of (diaryl-amino)piperidines with high
 selectivity to .delta.-opioid receptor over .mu.-opioid receptor using
 combined 3D-QSAR and ligand docking study)
 RN 229478-91-5 CAPLUS
 CN Benzamide,
 N,N-diethyl-4-[(3-methoxyphenyl)(1-propyl-4-piperidinyl)amino]-
 (9CI) (CA INDEX NAME)



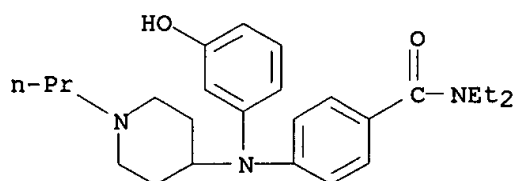
IT 229478-92-6P 229479-39-4P 229479-40-7P

RL: BPR (Biological process); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process) (synthesis and evaluation of (diarylamino)piperidines with high selectivity to .delta.-opioid receptor over .mu.-opioid receptor using combined 3D-QSAR and ligand docking study)

RN 229478-92-6 CAPLUS

CN Benzamide,

N,N-diethyl-4-[(3-hydroxyphenyl)(1-propyl-4-piperidinyl)amino]-
(9CI) (CA INDEX NAME)



RN 229479-39-4 CAPLUS

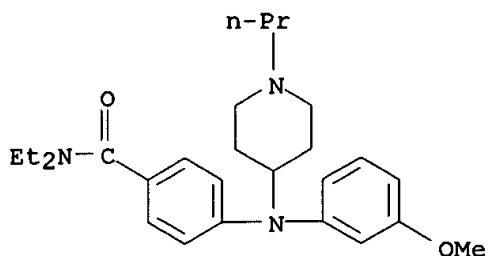
CN Benzamide,

N,N-diethyl-4-[(3-methoxyphenyl)(1-propyl-4-piperidinyl)amino]-
, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 229478-91-5

CMF C26 H37 N3 O2

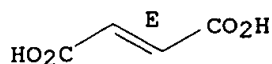


CM 2

CRN 110-17-8

CMF C4 H4 O4
CDES 2:E

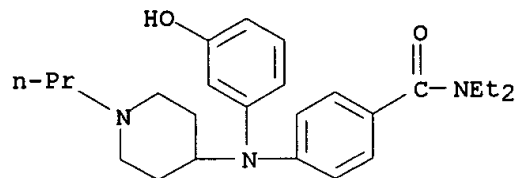
Double bond geometry as shown.



RN 229479-40-7 CAPLUS
CN Benzamide,
N,N-diethyl-4-[(3-hydroxyphenyl)(1-propyl-4-piperidiny)amino]-
, ethanedioate (2:1) (salt) (9CI) (CA INDEX NAME)

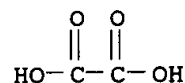
CM 1

CRN 229478-92-6
CMF C25 H35 N3 O2



CM 2

CRN 144-62-7
CMF C2 H2 O4



RE.CNT 61

RE

- (1) Abdel-Magid, A; J Org Chem 1996, V61, P3849 CAPLUS
 - (2) Allen, M; J Med Chem 1990, V33, P2343 CAPLUS
 - (3) Baldwin, J; J Mol Biol 1997, V272, P144 CAPLUS
 - (4) Bayly, C; J Phys Chem 1993, V97, P10269 CAPLUS
 - (5) Befort, K; J Biol Chem 1996, V271, P10161 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2001 ACS

AN 2000:419974 CAPLUS

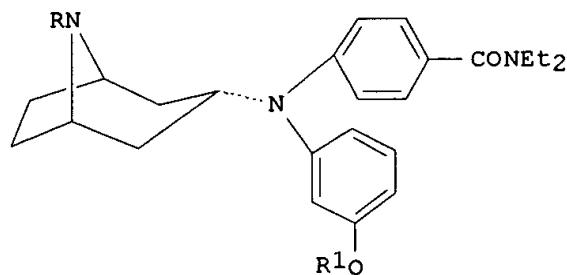
DN 133:193302

TI 4-[(8-Alkyl-8-azabicyclo[3.2.1]octyl-3-yl)-3-arylanilino]-N,N-diethylbenzamides: high affinity, selective ligands for the delta opioid receptor illustrate factors important to antagonist activity

AU Thomas, James B.; Atkinson, Robert N.; Rothman, Richard B.; Burgess, Jason

P.; Mascarella, S. Wayne; Dersch, Christina M.; Xu, Heng; Carroll, F. Ivy

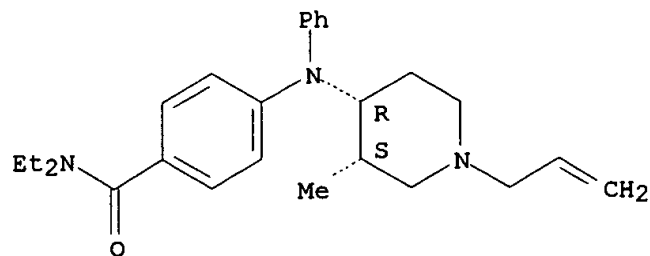
CS Chemistry and Life Sciences, Research Triangle Institute, Research
Triangle Park, NC, 27709, USA
SO Bioorg. Med. Chem. Lett. (2000), 10(11), 1281-1284
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
GI



I

AB The tropane derived compds.,
4-[(8-alkyl-8-azabicyclo[3.2.1]octyl-3-yl)-3-
arylanilino]-N,N-diethylbenzamides I (R = allyl, cis-crotyl, prenyl, R1 =
Me; R = allyl, R1 = H), were synthesized and found to have high affinity
and selectivity for the .delta. receptor. I are structurally similar to
the full agonist (-)-RTI-5989-54; yet, efficacy studies for compds. in
this series I reveal greatly diminished agonist activity as well as
antagonism not found in piperidine-based compds. like (-)-RTI-5989-54.
IT 258267-76-4, (-)-RTI 5989-54
RL: BAC (Biological activity or effector, except adverse); BIOL
(Biological study)
(prepn. of 4-[(8-alkyl-8-azabicyclo[3.2.1]octyl-3-yl)-3-arylanilino]-
N,N-diethylbenzamides as high affinity, selective ligands for delta
opioid receptor illustrate factors important to antagonist activity)
RN 258267-76-4 CAPLUS
CN Benzamide, N,N-diethyl-4-[[[(3S,4R)-3-methyl-1-(2-propenyl)-4-
piperidinyl]phenylamino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



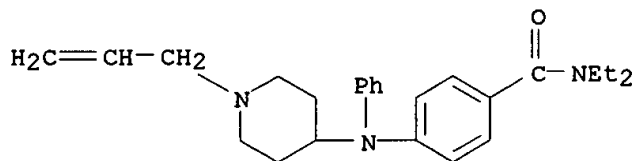
RE.CNT 12

RE

- (1) Abdel-Magid, A; J Org Chem 1996, V61, P3849 CAPLUS
(3) Calderon, S; J Med Chem 1994, V37, P2125 CAPLUS

- (4) Chang, K; J Pharm Exp Ther 1993, V267, P852 CAPLUS
 (5) Dondio, G; Exp Opin Ther Pat 1999, V9, P353 CAPLUS
 (6) Dondio, G; J Med Chem 1997, V40, P3192 CAPLUS
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2001 ACS
 AN 2000:379678 CAPLUS
 DN 133:144474
 TI Synthesis and binding affinities of 4-diarylaminotropanes, a new class of delta opioid agonists
 AU Boyd, Robert E.; Carson, John R.; Codd, Ellen E.; Gauthier, A. Diane; Neilson, Lou Anne; Zhang, Sui-Po
 CS Drug Discovery, R. W. Johnson Pharmaceutical Research Institute, Philadelphia, PA, 19477, USA
 SO Bioorg. Med. Chem. Lett. (2000), 10(10), 1109-1111
 CODEN: BMCLE8; ISSN: 0960-894X
 PB Elsevier Science Ltd.
 DT Journal
 LA English
 AB A series of 4-diarylaminotropanes has been prepd. Both endo and exo diastereomeric forms bound to the delta opioid receptor but the endo isomers were more potent and selective vs. the .mu. opioid receptor than the exo isomers. The most potent delta opioid agonist exhibited a delta opioid Ki of 0.2 nM and was 860-fold selective over mu.
 IT 229477-67-2
 RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (synthesis and binding affinities of 4-diarylaminotropanes, a new class of delta opioid agonists)
 RN 229477-67-2 CAPLUS
 CN Benzamide, N,N-diethyl-4-[phenyl[1-(2-propenyl)-4-piperidinyl]amino]-(9CI) (CA INDEX NAME)



RE.CNT 22

RE

- (2) Alfaro-Lopez, J; J Med Chem 1999, V42, P5359 CAPLUS
 (3) Bagley, J; J Heterocycl Chem 1977, V14, P599 CAPLUS
 (4) Barn, D; Bioorg Med Chem Lett 1999, V9, P1329 CAPLUS
 (5) Bell, M; J Am Chem Soc 1960, V82, P151 CAPLUS
 (8) Casy, A; J Pharm Pharmacol 1992, V44, P787 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2001 ACS
 AN 1999:810824 CAPLUS
 DN 132:146560
 TI Optically pure (-)-4-[(N-allyl-3-methyl-4-piperidinyl)phenylamino]-N,N-diethylbenzamide displays selective binding and full agonist activity for the .delta. opioid receptor

AU Thomas, James B.; Atkinson, Robert N.; Herault, Xavier M.; Rothman, Richard B.; Mascarella, S. Wayne; Dersch, Christina M.; Xu, Heng; Horel, Rob B.; Carroll, F. Ivy

CS Chemistry and Life Sciences, Research Triangle Institute, Research Triangle Park, NC, 27709, USA

SO Bioorg. Med. Chem. Lett. (1999), 9(23), 3347-3350
CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science Ltd.

DT Journal

LA English

AB The optical isomers of

4-[(N-allyl-3-methyl-4-piperidinyl)phenylamino]-N,N-diethylbenzamide (I) have been prepd. and tested in both binding and functional assays. The data show that (-)-I is responsible for the .delta. opioid activity demonstrated by the racemic material. This compd.

displays a binding affinity of 5.5 nM for the .delta. opioid receptor as well as a 470-fold .delta. vs. .mu. selectivity. Importantly, (-)-I is a full agonist at the .delta. receptor in comparison with SNC-80. Taken together, the data suggest that (-)-3 behaves more like the prototypical .delta. agonists, BW373U86 or SNC-80, and less like the peptidomimetic compd. SL-3111.

IT 258267-75-3

RL: BAC (Biological activity or effector, except adverse); BPR

(Biological

process); BIOL (Biological study); PROC (Process)

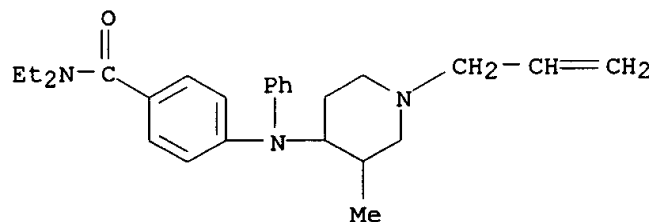
(optically pure

(-)[(N-allylmethylpiperidinyl)phenylamino]ethylbenzamid

e displays selective binding and full agonist activity for .delta. opioid receptor)

RN 258267-75-3 CAPLUS

CN Benzamide, N,N-diethyl-4-[[3-methyl-1-(2-propenyl)-4-piperidinyl]phenylamino]- (9CI) (CA INDEX NAME)



IT 258267-76-4P 258267-77-5P

RL: BAC (Biological activity or effector, except adverse); BPR

(Biological

process); SPN (Synthetic preparation); BIOL (Biological study); PREP

(Preparation); PROC (Process)

(optically pure

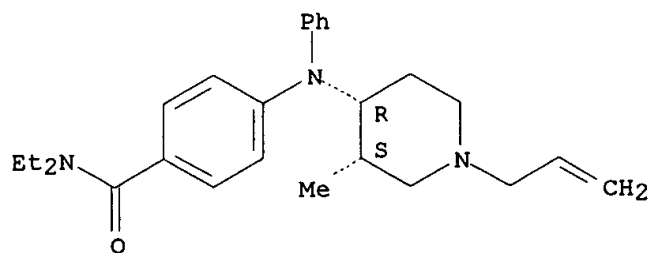
(-)[(N-allylmethylpiperidinyl)phenylamino]ethylbenzamid

e displays selective binding and full agonist activity for .delta. opioid receptor)

RN 258267-76-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3S,4R)-3-methyl-1-(2-propenyl)-4-piperidinyl]phenylamino]- (9CI) (CA INDEX NAME)

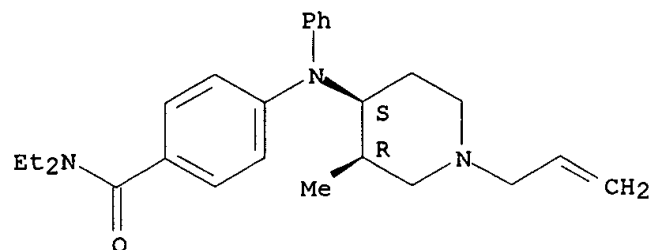
Absolute stereochemistry. Rotation (-).



RN 258267-77-5 CAPLUS

CN Benzamide, N,N-diethyl-4-[[[(3R,4S)-3-methyl-1-(2-propenyl)-4-piperidiny]phenylamino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RE.CNT 9

RE

- (2) Befort, K; J Biol Chem 1996, V271, P10161 CAPLUS
- (3) Burke, T; J Med Chem 1986, V29, P748 CAPLUS
- (4) Calderon, S; J Med Chem 1994, V37, P2125 CAPLUS
- (5) Chang, K; J Pharm Exp Ther 1993, V267, P852 CAPLUS
- (6) Dondio, G; J Med Chem 1997, V40, P3192 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2001 ACS

AN 1999:716693 CAPLUS

DN 132:78452

TI (.+.)-4-[(N-allyl-cis-3-methyl-4-piperidiny]phenylamino]-N,N-diethylbenzamide displays selective binding for the delta opioid receptor

AU Thomas, James B.; Herault, Xavier M.; Rothman, Richard B.; Burgess, Jason P.; Mascarella, S. Wayne; Xu, Heng; Horel, Robert B.; Dersch, Christina M.; Carroll, F. Ivy

CS Chemistry and Life Sciences, ~~Research Triangle Institute~~, Research Triangle Park, NC, 27709, USA

SO Bioorg. Med. Chem. Lett. (1999), 9(20), 3053-3056
CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science Ltd.

DT Journal

LA English

AB Racemic 4-[(N-allyl-cis-3-methyl-4-piperidiny]phenylamino]-N,N-diethylbenzamide (I) was synthesized and found to have good affinity and selectivity for the .delta. receptor. This compd. can be viewed as an analog of BW373U86 and SNC-80 where an internal piperazine nitrogen has

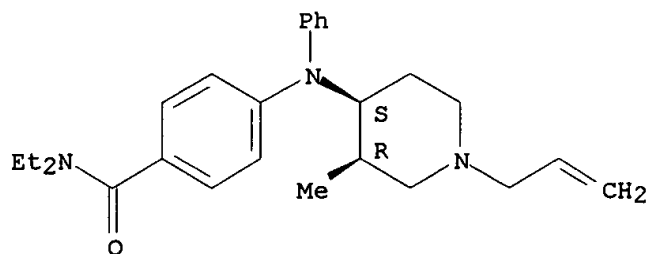
been transposed with a benzylic carbon. Functionally, I behaves as an agonist at the .delta. receptor with no measurable stimulation of either the .mu. or .kappa. receptor subtypes and is devoid of any measurable amt. of antagonist activity for any opioid receptor. A comparison of I to SNC-80 and DPDPE in the [35S]GTP.gamma.S functional assay suggests that I may be more like the peptide DPDPE.

IT **229478-44-8P 229478-56-2P**
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 ((.+-.)-4-[(N-allyl-cis-3-methyl-4-piperidiny]phenylamino)-N,N-diethylbenzamide as selective delta opioid agonist)

RN 229478-44-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[[(3R,4S)-3-methyl-1-(2-propenyl)-4-piperidiny]phenylamino]-, rel- (9CI) (CA INDEX NAME)

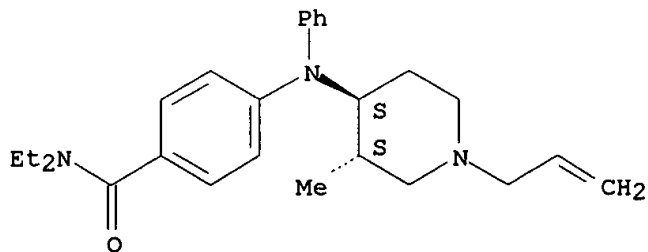
Relative stereochemistry.



RN 229478-56-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[[(3R,4R)-3-methyl-1-(2-propenyl)-4-piperidiny]phenylamino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

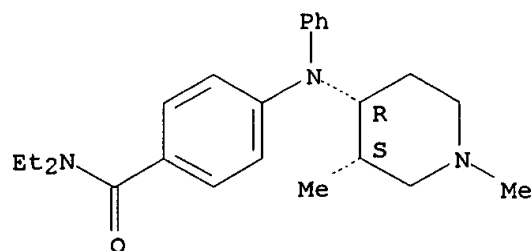


IT **244048-63-3P 244048-65-5P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 ((.+-.)-4-[(N-allyl-cis-3-methyl-4-piperidiny]phenylamino)-N,N-diethylbenzamide as selective delta opioid agonist)

RN 244048-63-3 CAPLUS

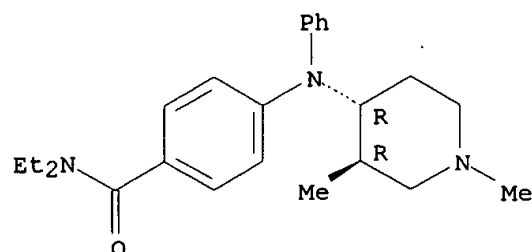
CN Benzamide,
 4-[[(3R,4S)-1,3-dimethyl-4-piperidiny]phenylamino]-N,N-diethyl-
 , rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 244048-65-5 CAPLUS
 CN Benzamide,
 4-[[(3R,4R)-1,3-dimethyl-4-piperidiny]phenylamino]-N,N-diethyl-
 , rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 10

RE

- (2) Befort, K; J Biol Chem 1996, V271, P10161 CAPLUS
- (3) Calderon, S; J Med Chem 1994, V37, P2125 CAPLUS
- (4) Chang, K; J Pharm Exp Ther 1993, V267, P852 CAPLUS
- (5) Dondio, G; J Med Chem 1997, V40, P3192 CAPLUS
- (6) Hattori, T; Synthesis 1996, P514 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

Answers
 L4 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2001 ACS

AN 1999:594935 CAPLUS

DN 131:228652

TI Preparation of substituted piperidines for pharmaceutical use as opioid antagonists

IN Carroll, Frank Ivy

PA USA

SO PCT Int. Appl., 171 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9945925	A1	19990916	WO 1999-US5131	19990309
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,			

TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU,
 TJ, TM
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
 ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
 CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 AU 9930738 A1 19990927 AU 1999-30738 19990309
 EP 1061919 A1 20001227 EP 1999-912345 19990309
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, FI
 PRAI US 1998-77402 P 19980310
 US 1998-107902 P 19981110
 WO 1999-US5131 W 19990309
 OS MARPAT 131:228652
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Piperidine contg. heterocyclic compds. I [R1, R2 = H, alkyl, aryl, arylalkyl; R3 = alkyl, cycloalkyl, aryl, arylalkyl, etc.], II [R1 = alkyl, arylalkyl; R3, R4, R5, R6 = H, OH, NH2, CN, CF3, CN, NO2, alkyl, alkyloxy, halogen, amino, etc.; R7 = H, alkyl], and III [R1 = alkyl, arylalkyl; R2 = H, NH2, :O, alkyl, arylalkyl, amino, etc.] were prepd. for use as opioid antagonists to treat a variety of disease states which involve the opioid receptors. Thus, the hydrochloride salt of piperidine IV [R3 = (CH2)2C6H4-4-OH], i.e. RTI 5989-29, was prepd. starting from (+)-(3R,4R)-dimethyl-4-(3-hydroxyphenyl)piperidine, N-(tert-butoxycarbonyl)-L-valine, and 3-(4-hydroxyphenyl)propanoic acid. The prepd. heterocyclic compds. contg. a piperidine subunit were tested for .kappa.-, .mu.-, and .delta.-opioid receptor binding activity.

IT 229478-43-7P 229478-44-8P 229478-56-2P
 244048-63-3P 244048-65-5P

RL: BAC (Biological activity or effector, except adverse); RCT (Reactant);

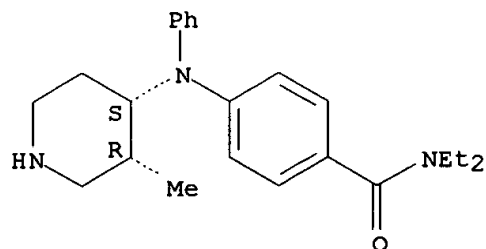
SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heterocyclic compds. contg. a piperidine subunit for pharmaceutical use as opioid antagonists)

RN 229478-43-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[[(3R,4S)-3-methyl-4-piperidinyl]phenylamino]-, rel- (9CI) (CA INDEX NAME)

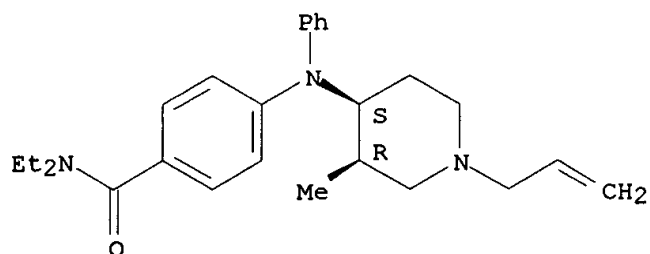
Relative stereochemistry.



RN 229478-44-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[[(3R,4S)-3-methyl-1-(2-propenyl)-4-piperidiny]phenylamino]-, rel- (9CI) (CA INDEX NAME)

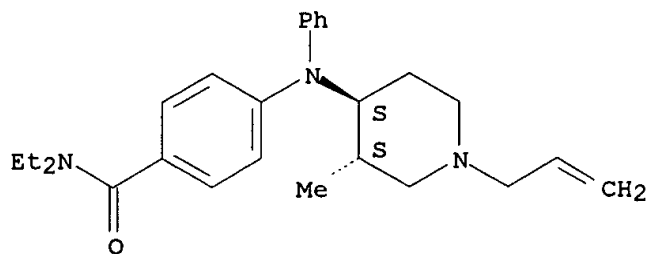
Relative stereochemistry.



RN 229478-56-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[[(3R,4R)-3-methyl-1-(2-propenyl)-4-piperidiny]phenylamino]-, rel- (9CI) (CA INDEX NAME)

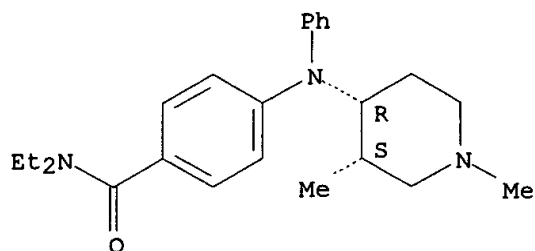
Relative stereochemistry.



RN 244048-63-3 CAPLUS

CN Benzamide,
4-[[(3R,4S)-1,3-dimethyl-4-piperidiny]phenylamino]-N,N-diethyl-
, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

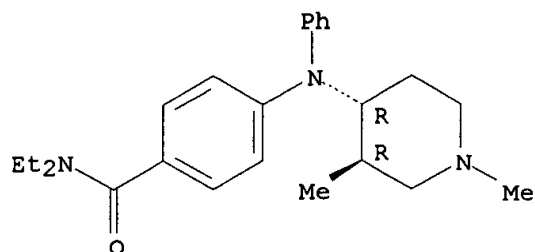


RN 244048-65-5 CAPLUS

CN Benzamide,

4-[(1R,2S)-1,3-dimethyl-4-piperidiny]phenylamino]-N,N-diethyl-,
rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 244048-64-4P 244048-66-6P 244048-67-7P

244048-68-8P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

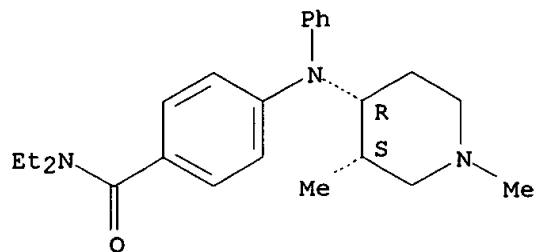
(prepn. of heterocyclic compds. contg. a piperidine subunit for pharmaceutical use as opioid antagonists)

RN 244048-64-4 CAPLUS

CN Benzamide,

4-[(1R,2S)-1,3-dimethyl-4-piperidiny]phenylamino]-N,N-diethyl-,
monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



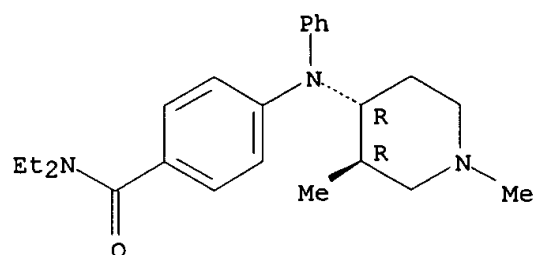
HCl

RN 244048-66-6 CAPLUS

CN Benzamide,

4-[[(3R,4R)-1,3-dimethyl-4-piperidiny]phenylamino]-N,N-diethyl-
, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

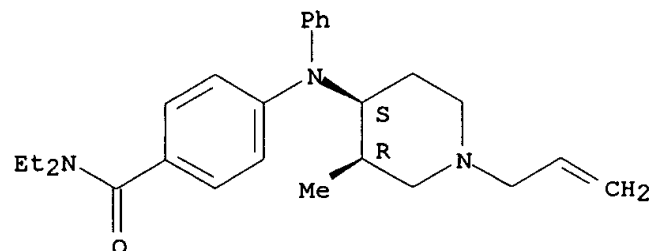


● HCl

RN 244048-67-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[[(3R,4S)-3-methyl-1-(2-propenyl)-4-piperidiny]phenylamino]-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

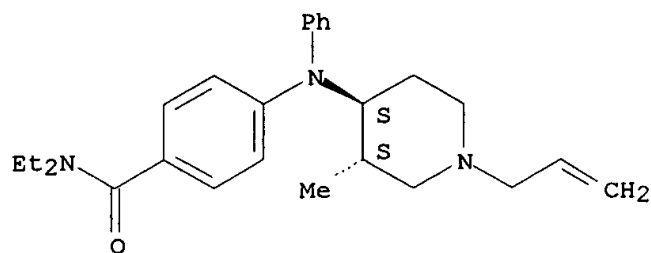


● HCl

RN 244048-68-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[[(3R,4R)-3-methyl-1-(2-propenyl)-4-piperidiny]phenylamino]-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

RE.CNT 4

RE

- (1) Astra Pharma Inc; WO 9828270 A1 1998 CAPLUS
- (2) Awaya, H; J Med Chem 1984, V27(4), P536 CAPLUS
- (3) Thomas, J; Bioorg & Med Chem Lett 1998, V8, P3149 CAPLUS
- (4) Thomas, J; J Med Chem 1998, V41(26), P5188 CAPLUS

L4 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2001 ACS

AN 1999:460403 CAPLUS

DN 131:87826

TI Preparation of 4-[aryl(piperidin-4-yl)]aminobenzamides which bind to the delta-opioid receptor

IN Carson, John R.; Carmosin, Richard J.; Fitzpatrick, Louis J.; Reitz, Allen

B.; Jetter, Michele C.

PA Ortho-Mcneil Pharmaceutical, Inc., USA

SO PCT Int. Appl., 43 pp.

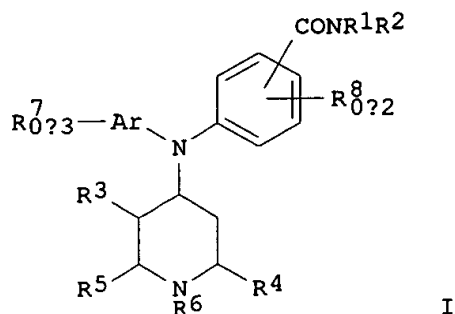
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9933806	A1	19990708	WO 1998-US27350	19981223
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 9920097	A1	19990719	AU 1999-20097	19981223
	EP 1049676	A1	20001108	EP 1998-964871	19981223
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
PRAI	US 1997-68794	P	19971224		
	WO 1998-US27350	W	19981223		
OS	MARPAT 131:87826				
GI					



AB 4-[Aryl(piperidin-4-yl)]aminobenzamides I [Ar = Ph, 1-naphthyl, 2-naphthyl; R1, R2 = H, alkyl, Ph, PhCH2, etc.; R3, R4, R5 = H, alkyl; R6 = H, alkyl, alkenyl, etc.; R7 = OH, halo, alkoxy, etc.; R8 = halo, alkyl, alkoxy, CF3], .delta.-opioid receptor agonists/antagonists, were prepd. E.g., N,N-diethyl-4-[3-methoxyphenyl(1-propylpiperidin-4-yl)amino]benzamide fumarate was prepd. As delta-opioid receptor agonists,

such compds. are useful as analgesics.

IT 209902-03-4P 229477-67-2P 229477-68-3P
 229477-69-4P 229477-71-8P 229477-72-9P
 229477-73-0P 229477-74-1P 229477-75-2P
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 229477-79-6P 229477-80-9P 229477-81-0P
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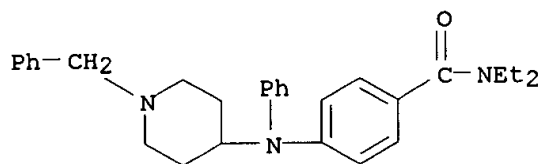
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 229479-38-3P 229479-39-4P 229479-40-7P
 229479-41-8P 229479-45-2P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and .delta.-opioid receptor binding of
 [aryl(piperidinyl)]aminobenzamides)

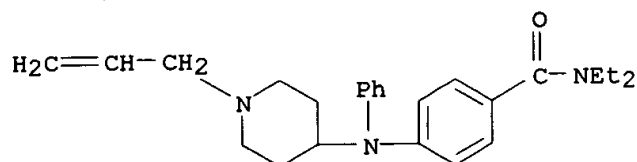
RN 209902-03-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl[1-(phenylmethyl)-4-piperidinyl]amino]-
 (9CI) (CA INDEX NAME)



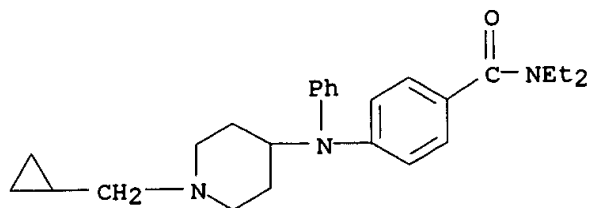
RN 229477-67-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl[1-(2-propenyl)-4-piperidinyl]amino]-
 (9CI) (CA INDEX NAME)



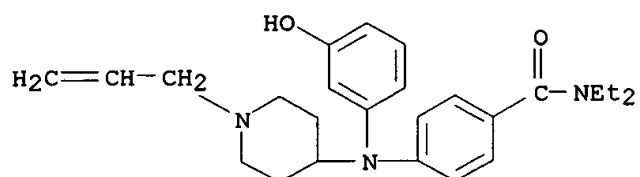
RN 229477-68-3 CAPLUS

CN Benzamide, 4-[[1-(cyclopropylmethyl)-4-piperidinyl]phenylamino]-N,N-diethyl- (9CI) (CA INDEX NAME)



RN 229477-69-4 CAPLUS

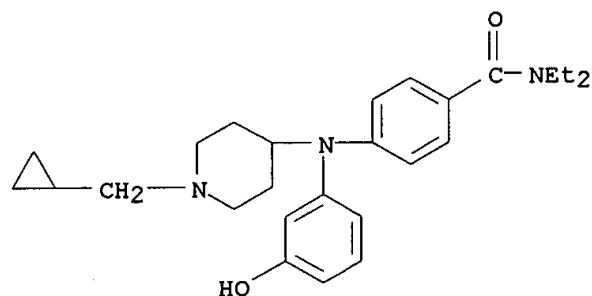
CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-(2-propenyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



RN 229477-71-8 CAPLUS

CN Benzamide,

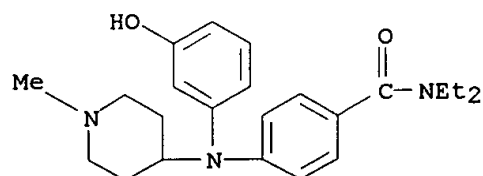
4-[[1-(cyclopropylmethyl)-4-piperidinyl](3-hydroxyphenyl)amino]-
N,N-diethyl- (9CI) (CA INDEX NAME)



RN 229477-72-9 CAPLUS

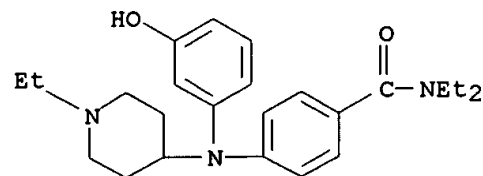
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N,N-diethyl-4-[(3-hydroxyphenyl)(1-methyl-4-piperidinyl)amino]-
(9CI) (CA INDEX NAME)



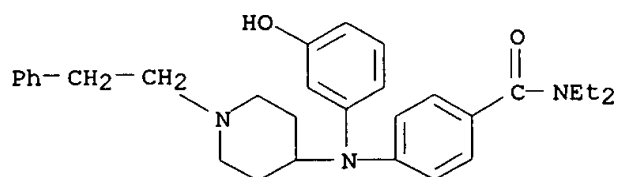
RN 229477-73-0 CAPLUS

CN Benzamide, N,N-diethyl-4-[(1-ethyl-4-piperidinyl)(3-hydroxyphenyl)amino]-
(9CI) (CA INDEX NAME)



RN 229477-74-1 CAPLUS

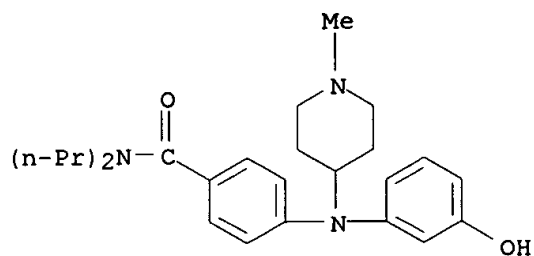
CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-(2-phenylethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



RN 229477-75-2 CAPLUS

CN Benzamide,

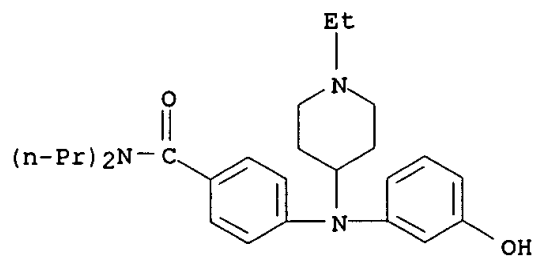
4-[(3-hydroxyphenyl)(1-methyl-4-piperidiny)amino]-N,N-dipropyl-
(9CI) (CA INDEX NAME)



RN 229477-76-3 CAPLUS

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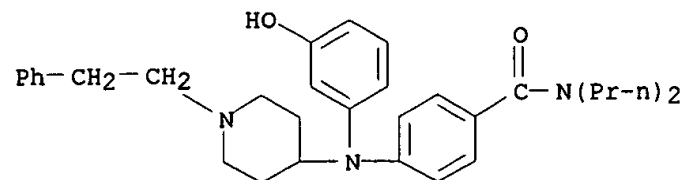
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(9CI) (CA INDEX NAME)



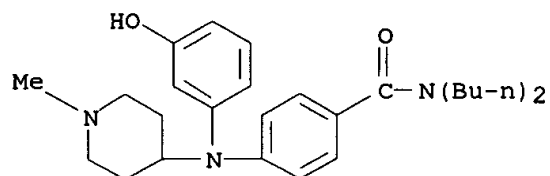
RN 229477-77-4 CAPLUS

CN Benzamide,

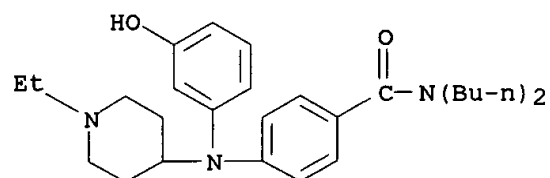
4-[(3-hydroxyphenyl)[1-(2-phenylethyl)-4-piperidiny]amino]-N,N-
dipropyl- (9CI) (CA INDEX NAME)



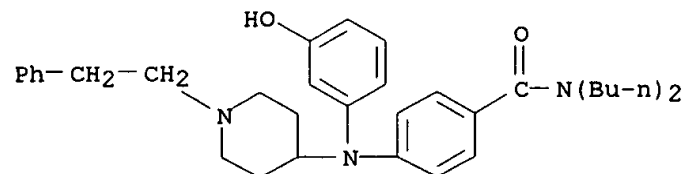
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 N,N-dibutyl-4-[(3-hydroxyphenyl)(1-methyl-4-piperidiny)amino]-
 (9CI) (CA INDEX NAME)



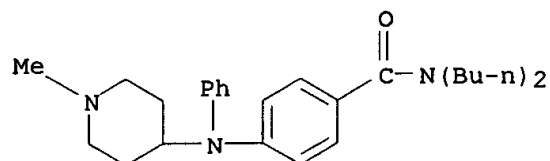
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 (9CI) (CA INDEX NAME)



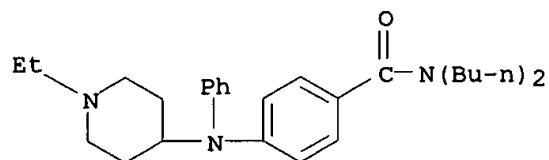
RN 229477-80-9 CAPLUS
 CN Benzamide, N,N-dibutyl-4-[(3-hydroxyphenyl)[1-(2-phenylethyl)-4-piperidiny]amino]- (9CI) (CA INDEX NAME)



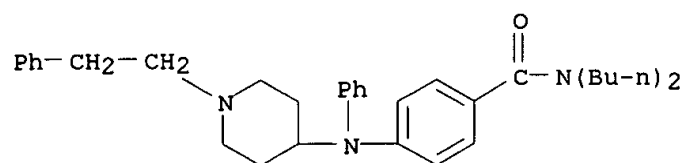
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 (CA INDEX NAME)



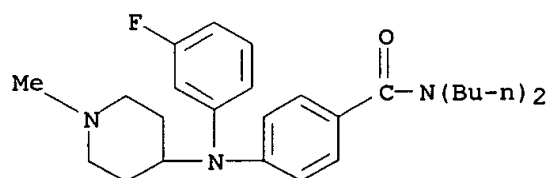
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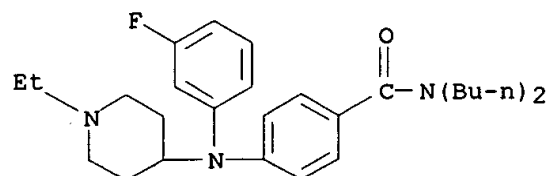
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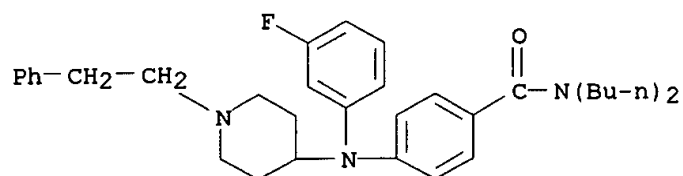
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 CN Benzamide, N,N-dibutyl-4-[(3-fluorophenyl)(1-methyl-4-piperidinyl)amino]-
 (9CI) (CA INDEX NAME)



RN 229477-85-4 CAPLUS
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 (9CI) (CA INDEX NAME)



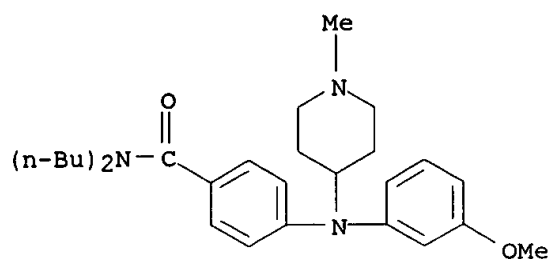
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 CN Benzamide, N,N-dibutyl-4-[(3-fluorophenyl)[1-(2-phenylethyl)-4-piperidinyl]amino]-
 (9CI) (CA INDEX NAME)



RN 229477-87-6 CAPLUS

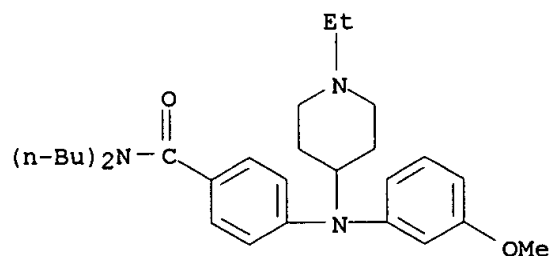
CN Benzamide,

N,N-dibutyl-4-[(3-methoxyphenyl)(1-methyl-4-piperidinyl)amino]-
(9CI) (CA INDEX NAME)



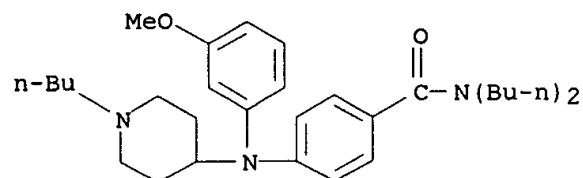
RN 229477-88-7 CAPLUS

CN Benzamide, N,N-dibutyl-4-[(1-ethyl-4-piperidinyl)(3-methoxyphenyl)amino]-
(9CI) (CA INDEX NAME)



RN 229477-89-8 CAPLUS

CN Benzamide, N,N-dibutyl-4-[(1-butyl-4-piperidinyl)(3-methoxyphenyl)amino]-
(9CI) (CA INDEX NAME)

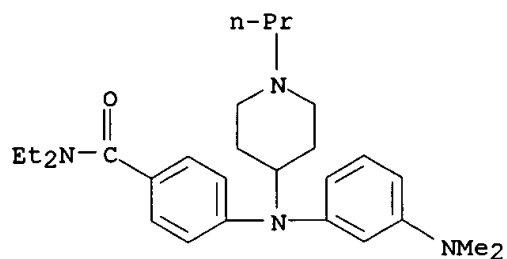


RN 229477-94-5 CAPLUS

CN Benzamide,

4-[[3-(dimethylamino)phenyl](1-propyl-4-piperidinyl)amino]-N,N-

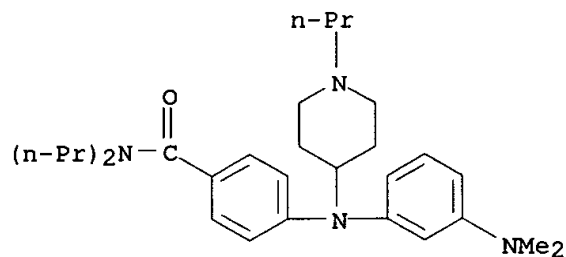
diethyl- (9CI) (CA INDEX NAME)



RN 229477-95-6 CAPLUS

CN Benzamide,

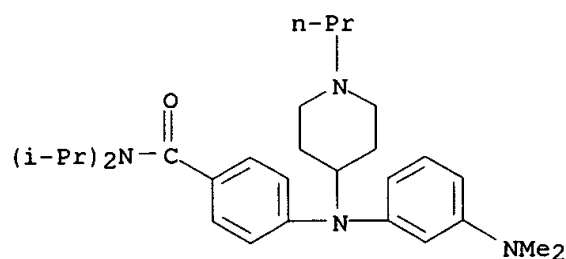
4-[[3-(dimethylamino)phenyl](1-propyl-4-piperidinyl)amino]-N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 229477-96-7 CAPLUS

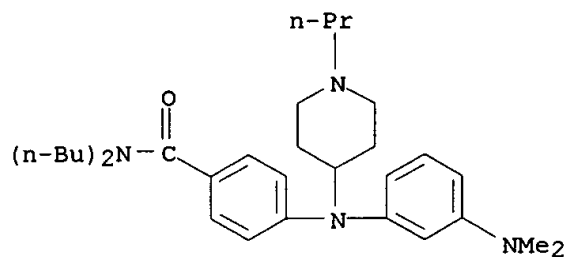
CN Benzamide,

4-[[3-(dimethylamino)phenyl](1-propyl-4-piperidinyl)amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)



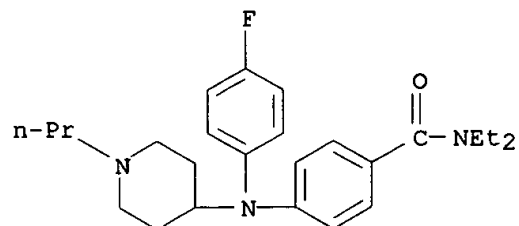
RN 229477-97-8 CAPLUS

CN Benzamide, N,N-dibutyl-4-[[3-(dimethylamino)phenyl](1-propyl-4-piperidinyl)amino]- (9CI) (CA INDEX NAME)



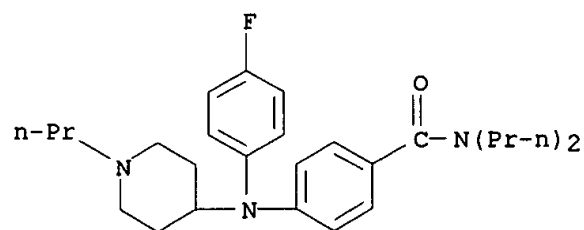
RN 229477-98-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[(4-fluorophenyl)(1-propyl-4-piperidinyl)amino]-
(9CI) (CA INDEX NAME)



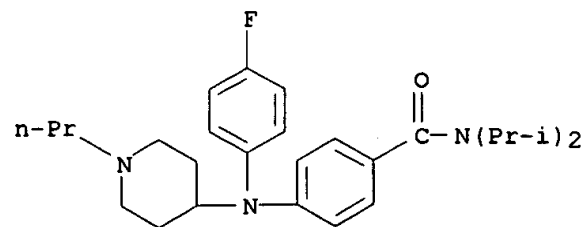
RN 229478-00-6 CAPLUS

CN Benzamide,
4-[(4-fluorophenyl)(1-propyl-4-piperidinyl)amino]-N,N-dipropyl-
(9CI) (CA INDEX NAME)

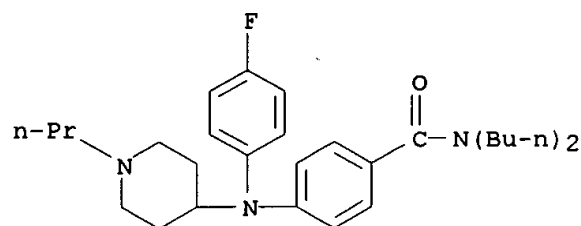


RN 229478-01-7 CAPLUS

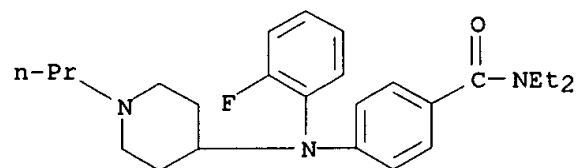
CN Benzamide, 4-[(4-fluorophenyl)(1-propyl-4-piperidinyl)amino]-N,N-bis(1-
methylethyl)- (9CI) (CA INDEX NAME)



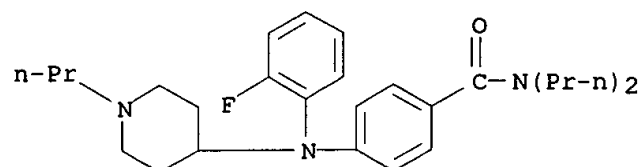
RN 229478-02-8 CAPLUS
 CN Benzamide, N,N-dibutyl-4-[(4-fluorophenyl)(1-propyl-4-piperidinyl)amino]-
 (9CI) (CA INDEX NAME)



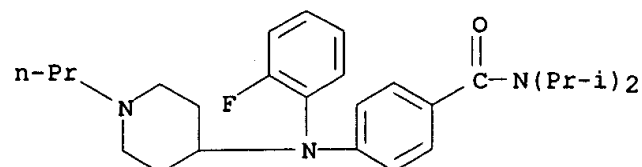
RN 229478-03-9 CAPLUS
 CN Benzamide, N,N-diethyl-4-[(2-fluorophenyl)(1-propyl-4-piperidinyl)amino]-
 (9CI) (CA INDEX NAME)



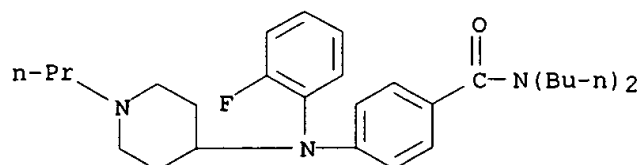
RN 229478-04-0 CAPLUS
 CN Benzamide,
 4-[(2-fluorophenyl)(1-propyl-4-piperidinyl)amino]-N,N-dipropyl-
 (9CI) (CA INDEX NAME)



RN 229478-05-1 CAPLUS
 CN Benzamide, 4-[(2-fluorophenyl)(1-propyl-4-piperidinyl)amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)



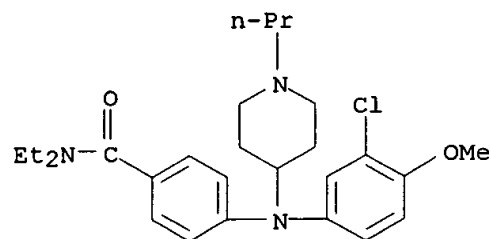
RN 229478-06-2 CAPLUS
 CN Benzamide, N,N-dibutyl-4-[(2-fluorophenyl)(1-propyl-4-piperidinyl)amino]-
 (9CI) (CA INDEX NAME)



RN 229478-07-3 CAPLUS

CN Benzamide,

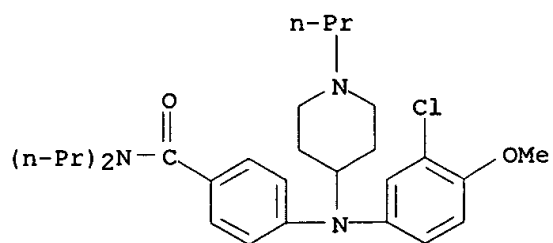
4-[(3-chloro-4-methoxyphenyl)(1-propyl-4-piperidinyloxy)amino]-N,N-diethyl- (9CI) (CA INDEX NAME)



RN 229478-08-4 CAPLUS

CN Benzamide,

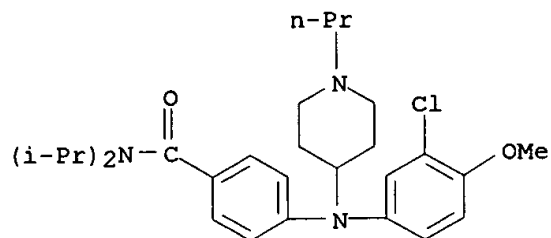
4-[(3-chloro-4-methoxyphenyl)(1-propyl-4-piperidinyloxy)amino]-N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 229478-09-5 CAPLUS

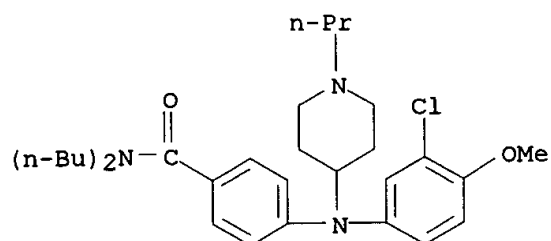
CN Benzamide,

4-[(3-chloro-4-methoxyphenyl)(1-propyl-4-piperidinyloxy)amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)



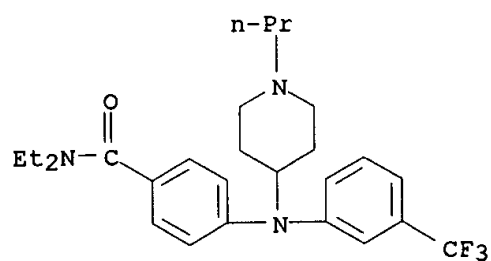
RN 229478-10-8 CAPLUS

CN Benzamide, N,N-dibutyl-4-[(1-propyl-4-piperidinyl)(3-chloro-4-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)



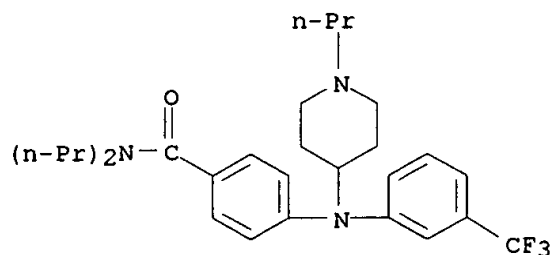
RN 229478-11-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[(1-propyl-4-piperidinyl)(3-(trifluoromethyl)phenyl)amino]- (9CI) (CA INDEX NAME)



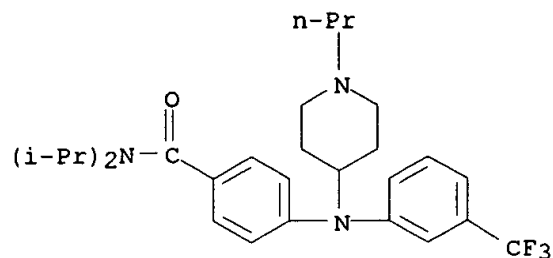
RN 229478-13-1 CAPLUS

CN Benzamide, N,N-dipropyl-4-[(1-propyl-4-piperidinyl)(3-(trifluoromethyl)phenyl)amino]- (9CI) (CA INDEX NAME)



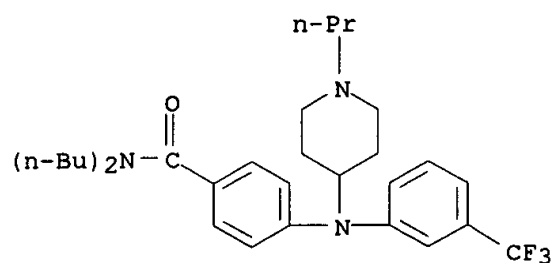
RN 229478-14-2 CAPLUS

CN Benzamide, N,N-bis(1-methylethyl)-4-[(1-propyl-4-piperidinyl)[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)



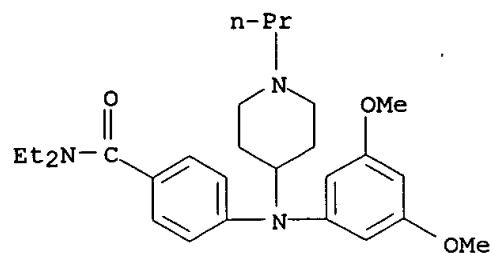
RN 229478-15-3 CAPLUS

CN Benzamide, N,N-dibutyl-4-[(1-propyl-4-piperidinyl)[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)



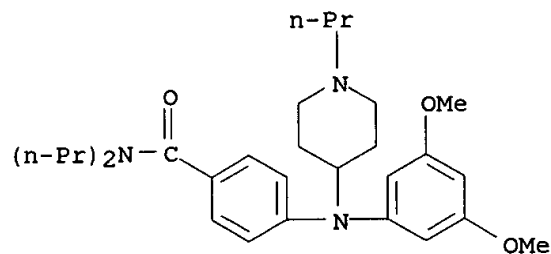
RN 229478-16-4 CAPLUS

CN Benzamide, 4-[(3,5-dimethoxyphenyl)(1-propyl-4-piperidinyl)amino]-N,N-diethyl- (9CI) (CA INDEX NAME)



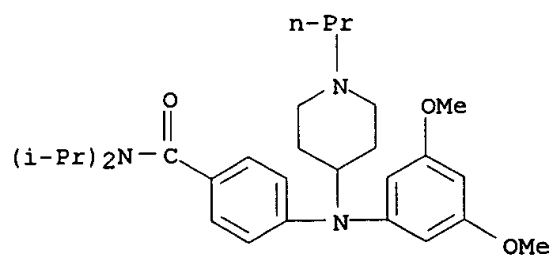
RN 229478-17-5 CAPLUS

CN Benzamide, 4-[(3,5-dimethoxyphenyl)(1-propyl-4-piperidinyl)amino]-N,N-dipropyl- (9CI) (CA INDEX NAME)



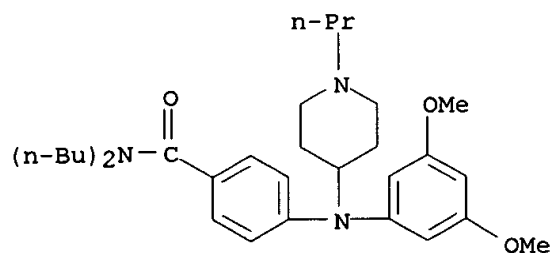
RN 229478-18-6 CAPLUS

CN Benzamide, 4-[(3,5-dimethoxyphenyl)(1-propyl-4-piperidinyl)amino]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)



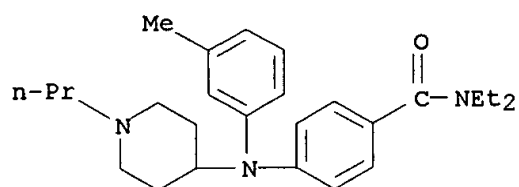
RN 229478-19-7 CAPLUS

CN Benzamide, N,N-dibutyl-4-[(3,5-dimethoxyphenyl)(1-propyl-4-piperidinyl)amino]- (9CI) (CA INDEX NAME)



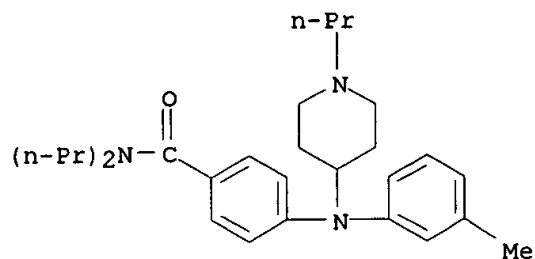
RN 229478-20-0 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methylphenyl)(1-propyl-4-piperidinyloxy)amino]-
(9CI) (CA INDEX NAME)



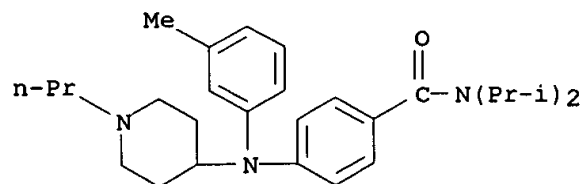
RN 229478-21-1 CAPLUS

CN Benzamide,
4-[(3-methylphenyl)(1-propyl-4-piperidinyloxy)amino]-N,N-dipropyl-
(9CI) (CA INDEX NAME)



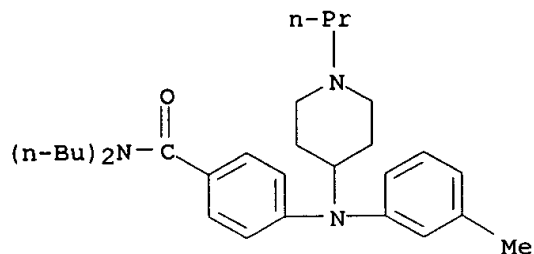
RN 229478-22-2 CAPLUS

CN Benzamide, N,N-bis(1-methylethyl)-4-[(3-methylphenyl)(1-propyl-4-piperidinyloxy)amino]-
(9CI) (CA INDEX NAME)

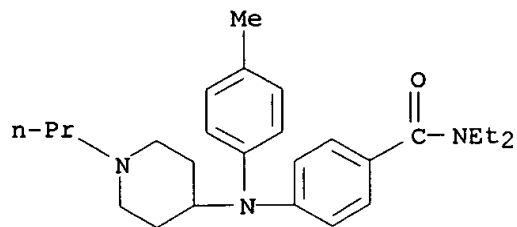


RN 229478-23-3 CAPLUS

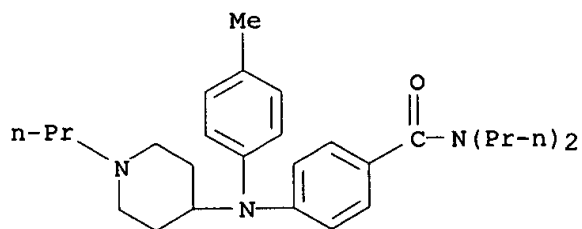
CN Benzamide, N,N-dibutyl-4-[(3-methylphenyl)(1-propyl-4-piperidiny)amino]-
(9CI) (CA INDEX NAME)



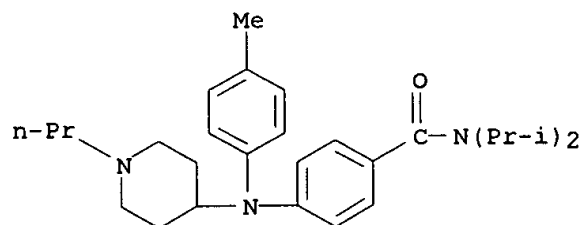
RN 229478-25-5 CAPLUS
CN Benzamide, N,N-diethyl-4-[(4-methylphenyl)(1-propyl-4-piperidiny)amino]-
(9CI) (CA INDEX NAME)



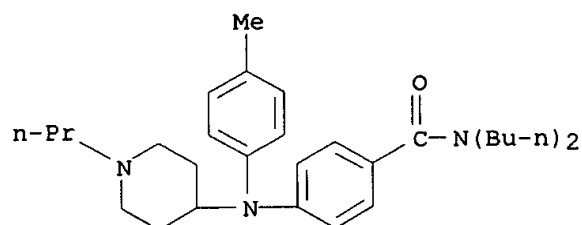
RN 229478-26-6 CAPLUS
CN Benzamide,
4-[(4-methylphenyl)(1-propyl-4-piperidiny)amino]-N,N-dipropyl-
(9CI) (CA INDEX NAME)



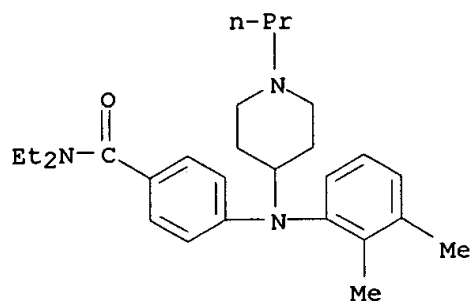
RN 229478-27-7 CAPLUS
CN Benzamide, N,N-bis(1-methylethyl)-4-[(4-methylphenyl)(1-propyl-4-
piperidiny)amino]- (9CI) (CA INDEX NAME)



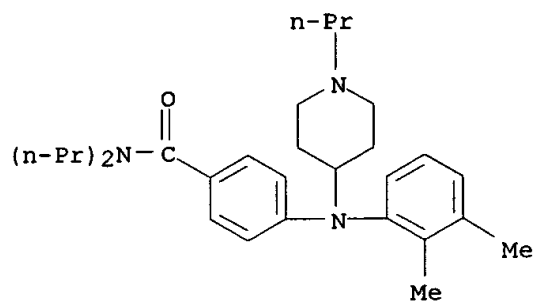
RN 229478-28-8 CAPLUS
 CN Benzamide, N,N-dibutyl-4-[(4-methylphenyl)(1-propyl-4-piperidinyl)amino]-
 (9CI) (CA INDEX NAME)



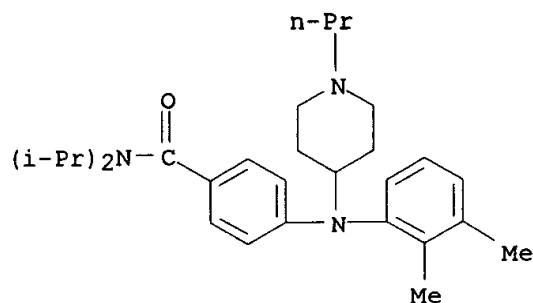
RN 229478-29-9 CAPLUS
 CN Benzamide, 4-[(2,3-dimethylphenyl)(1-propyl-4-piperidinyl)amino]-N,N-
 diethyl- (9CI) (CA INDEX NAME)



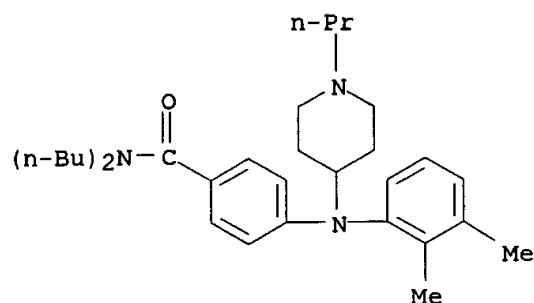
RN 229478-30-2 CAPLUS
 CN Benzamide, 4-[(2,3-dimethylphenyl)(1-propyl-4-piperidinyl)amino]-N,N-
 dipropyl- (9CI) (CA INDEX NAME)



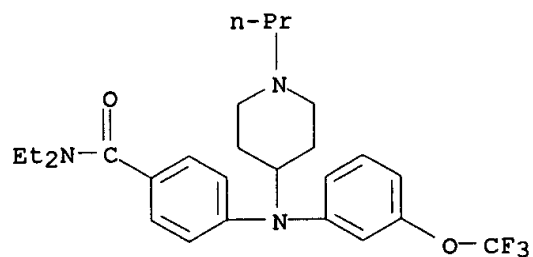
RN 229478-31-3 CAPLUS
 CN Benzamide,
 4-[(2,3-dimethylphenyl)(1-propyl-4-piperidinyl)amino]-N,N-bis(1-
 methylethyl)- (9CI) (CA INDEX NAME)



RN 229478-32-4 CAPLUS
 CN Benzamide, N,N-dibutyl-4-[(2,3-dimethylphenyl)(1-propyl-4-
 piperidinyl)amino]- (9CI) (CA INDEX NAME)

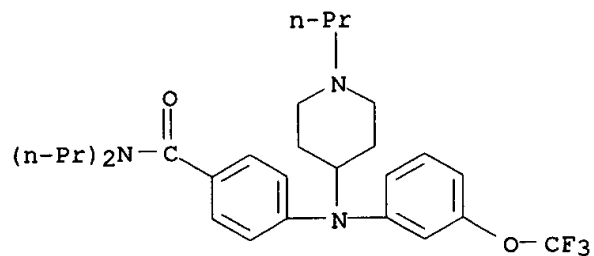


RN 229478-33-5 CAPLUS
 CN Benzamide, N,N-diethyl-4-[(1-propyl-4-piperidinyl)(3-
 (trifluoromethoxy)phenyl)amino]- (9CI) (CA INDEX NAME)



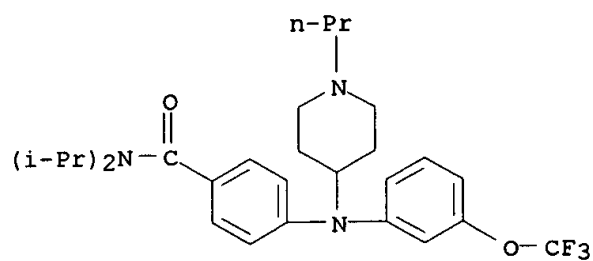
RN 229478-34-6 CAPLUS

CN Benzamide, N,N-dipropyl-4-[(1-propyl-4-piperidinyl)[3-(trifluoromethoxy)phenyl]amino]- (9CI) (CA INDEX NAME)



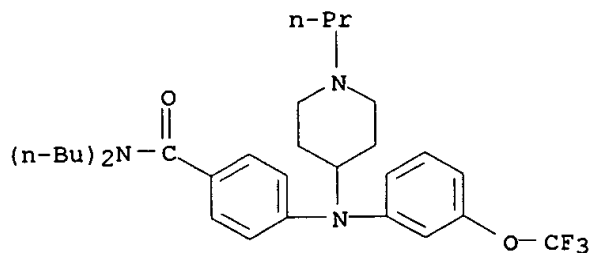
RN 229478-35-7 CAPLUS

CN Benzamide, N,N-bis(1-methylethyl)-4-[(1-propyl-4-piperidinyl)[3-(trifluoromethoxy)phenyl]amino]- (9CI) (CA INDEX NAME)

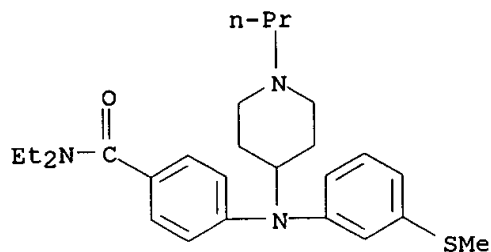


RN 229478-37-9 CAPLUS

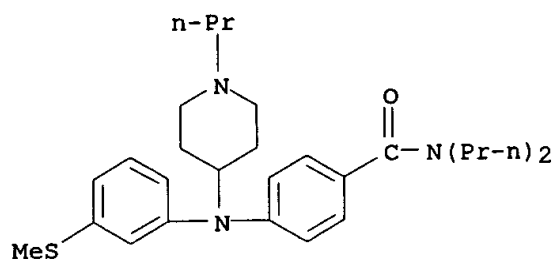
CN Benzamide, N,N-dibutyl-4-[(1-propyl-4-piperidinyl)[3-(trifluoromethoxy)phenyl]amino]- (9CI) (CA INDEX NAME)



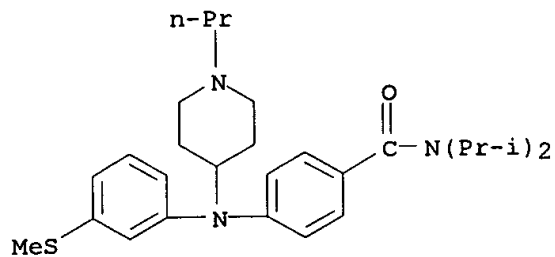
RN 229478-38-0 CAPLUS
 CN Benzamide, N,N-diethyl-4-[[3-(methoxytrifluoromethyl)phenyl](1-propyl-4-piperidinyl)amino]- (9CI) (CA INDEX NAME)



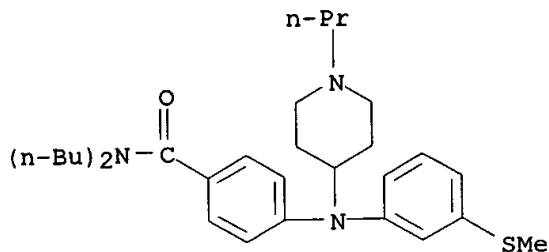
RN 229478-39-1 CAPLUS
 CN Benzamide, 4-[[3-(methylthio)phenyl](1-propyl-4-piperidinyl)amino]-N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 229478-40-4 CAPLUS
 CN Benzamide, N,N-bis(1-methylethyl)-4-[[3-(methylthio)phenyl](1-propyl-4-piperidinyl)amino]- (9CI) (CA INDEX NAME)

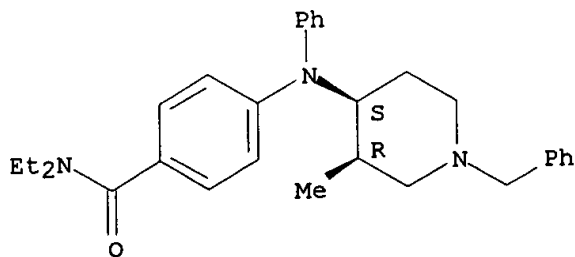


RN 229478-41-5 CAPLUS
 CN Benzamide, N,N-dibutyl-4-[[3-(methylthio)phenyl](1-propyl-4-piperidiny)amino]- (9CI) (CA INDEX NAME)



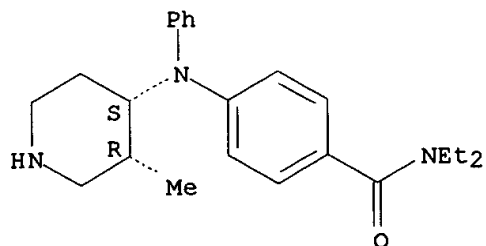
RN 229478-42-6 CAPLUS
 CN Benzamide, N,N-diethyl-4-[[(3R,4S)-3-methyl-1-(phenylmethyl)-4-piperidiny]phenylamino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 229478-43-7 CAPLUS
 CN Benzamide, N,N-diethyl-4-[[(3R,4S)-3-methyl-4-piperidiny]phenylamino]-, rel- (9CI) (CA INDEX NAME)

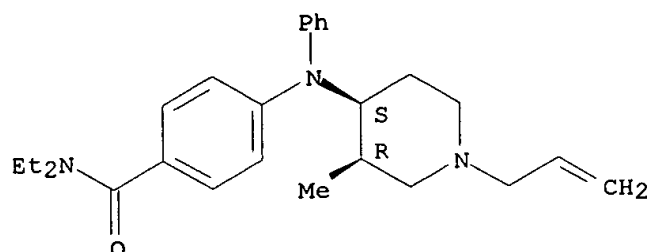
Relative stereochemistry.



RN 229478-44-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[[(3R,4S)-3-methyl-1-(2-propenyl)-4-piperidinyl]phenylamino]-, rel- (9CI) (CA INDEX NAME)

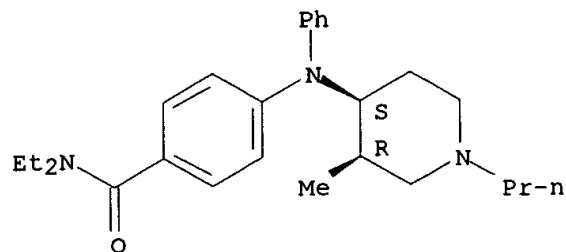
Relative stereochemistry.



RN 229478-45-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[[(3R,4S)-3-methyl-1-propyl-4-piperidinyl]phenylamino]-, rel- (9CI) (CA INDEX NAME)

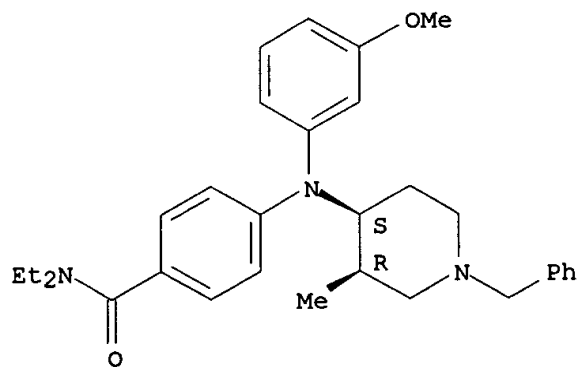
Relative stereochemistry.



RN 229478-46-0 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)[(3R,4S)-3-methyl-1-(phenylmethyl)-4-piperidinyl]amino]-, rel- (9CI) (CA INDEX NAME)

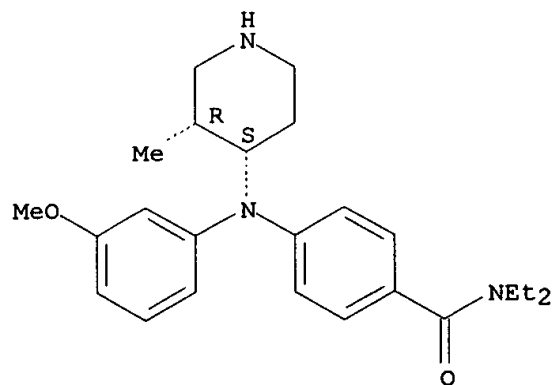
Relative stereochemistry.



RN 229478-47-1 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)[(3R,4S)-3-methyl-4-piperidinyl]amino]-, rel- (9CI) (CA INDEX NAME)

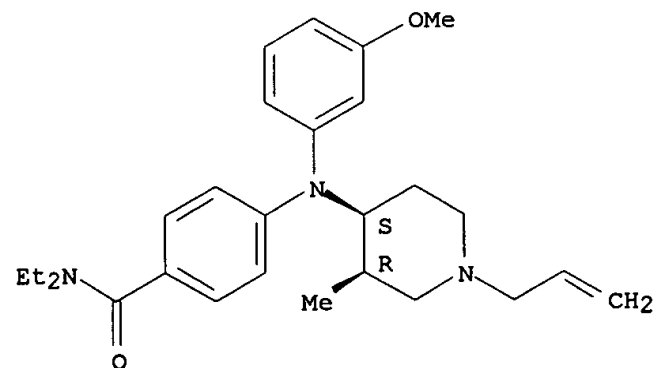
Relative stereochemistry.



RN 229478-48-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)[(3R,4S)-3-methyl-1-(2-propenyl)-4-piperidinyl]amino]-, rel- (9CI) (CA INDEX NAME)

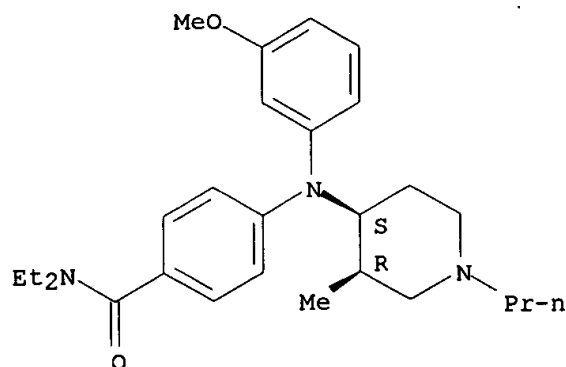
Relative stereochemistry.



RN 229478-50-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)((3R,4S)-3-methyl-1-propyl-4-piperidinyl)amino]-, rel- (9CI) (CA INDEX NAME)

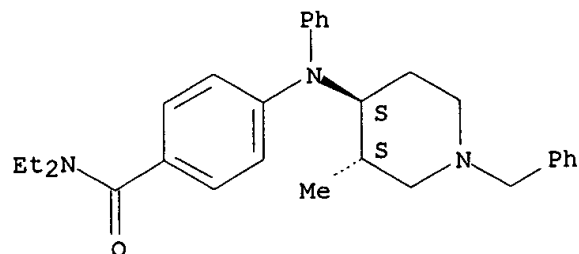
Relative stereochemistry.



RN 229478-52-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[[(3R,4R)-3-methyl-1-(phenylmethyl)-4-piperidinyl]phenylamino]-, rel- (9CI) (CA INDEX NAME)

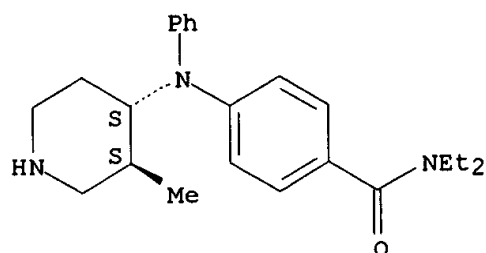
Relative stereochemistry.



RN 229478-55-1 CAPLUS

CN Benzamide, N,N-diethyl-4-[[(3R,4R)-3-methyl-4-piperidinyl]phenylamino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

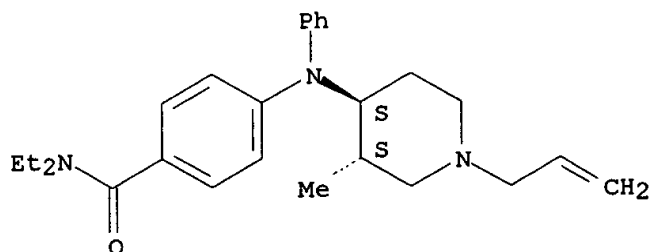


RN 229478-56-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[[(3R,4R)-3-methyl-1-(2-propenyl)-4-

piperidinyl]phenylamino]-, rel- (9CI) (CA INDEX NAME)

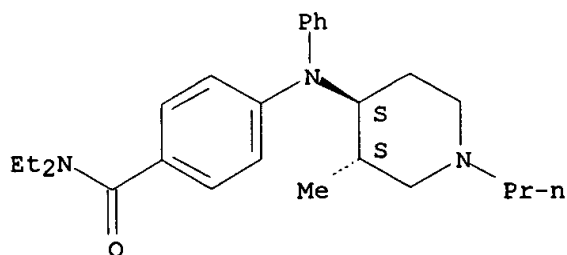
Relative stereochemistry.



RN 229478-57-3 CAPLUS

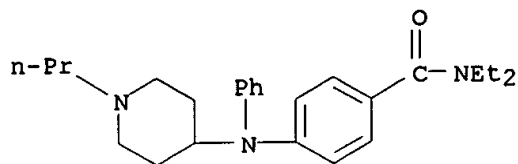
CN Benzamide, N,N-diethyl-4-[[(3R,4R)-3-methyl-1-propyl-4-piperidinyl]phenylamino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



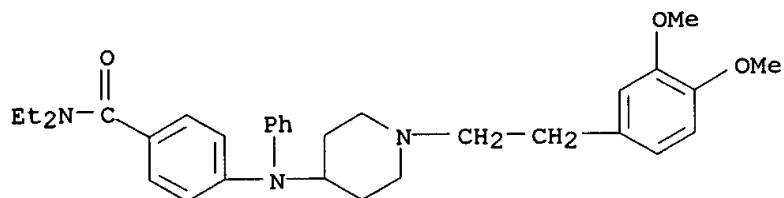
RN 229478-58-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl(1-propyl-4-piperidinyl)amino]- (9CI)
(CA INDEX NAME)



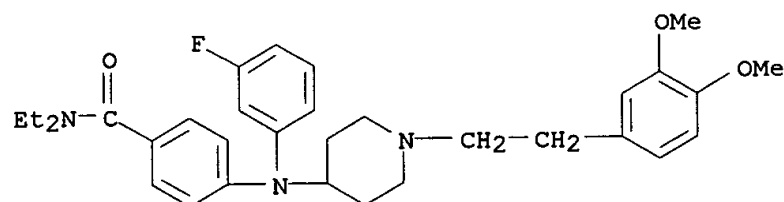
RN 229478-69-7 CAPLUS

CN Benzamide,
4-[[1-[2-(3,4-dimethoxyphenyl)ethyl]-4-piperidinyl]phenylamino]-
N,N-diethyl- (9CI) (CA INDEX NAME)



RN 229478-70-0 CAPLUS

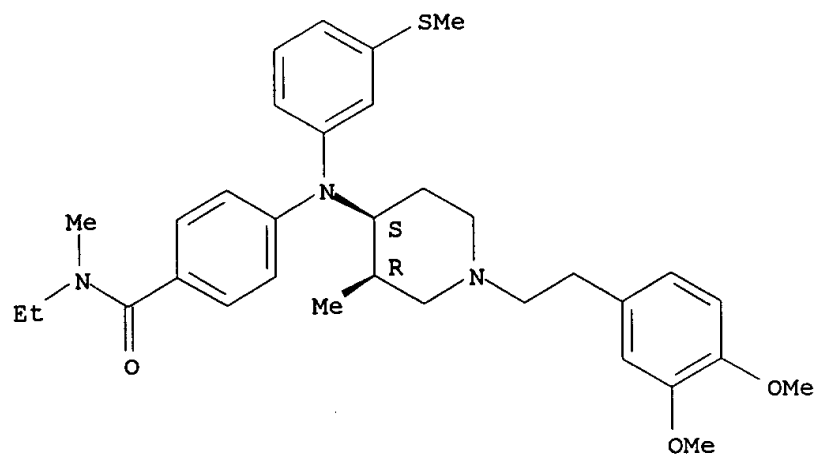
CN Benzamide, 4-[[1-[2-(3,4-dimethoxyphenyl)ethyl]-4-piperidinyl](3-fluorophenyl)amino]-N,N-diethyl- (9CI) (CA INDEX NAME)



RN 229478-71-1 CAPLUS

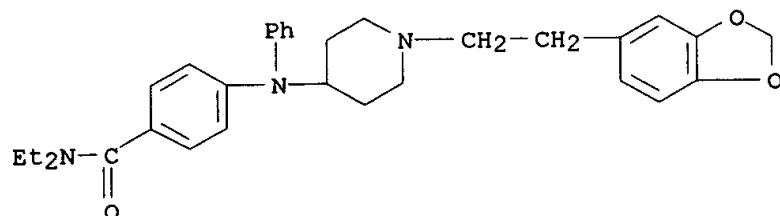
CN Benzamide, 4-[[[(3R,4S)-1-[2-(3,4-dimethoxyphenyl)ethyl]-3-methyl-4-piperidinyl][3-(methylthio)phenyl]amino]-N-ethyl-N-methyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



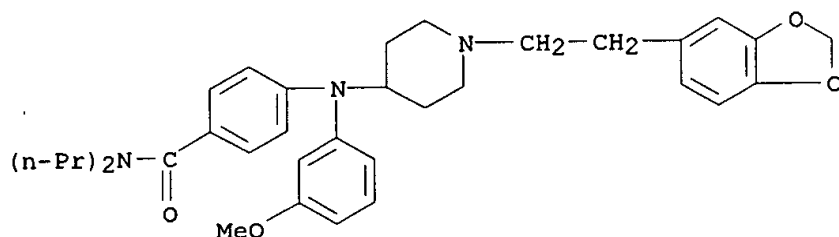
RN 229478-72-2 CAPLUS

CN Benzamide, 4-[[1-[2-(1,3-benzodioxol-5-yl)ethyl]-4-piperidinyl]phenylamino]-N,N-diethyl- (9CI) (CA INDEX NAME)



RN 229478-73-3 CAPLUS

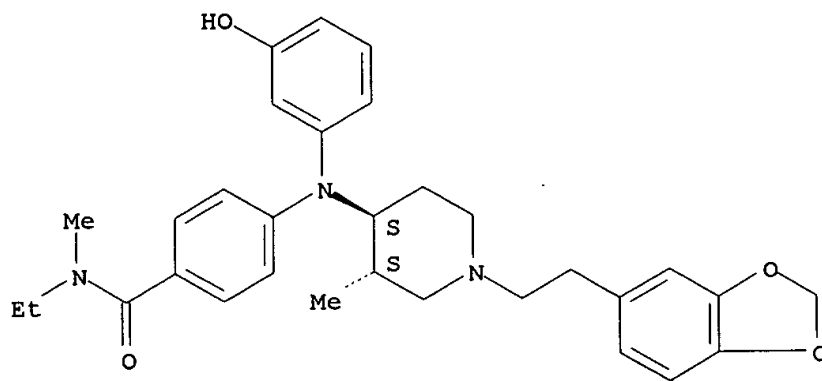
CN Benzamide, 4-[[1-[2-(1,3-benzodioxol-5-yl)ethyl]-4-piperidinyl](3-methoxyphenyl)amino]-N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 229478-74-4 CAPLUS

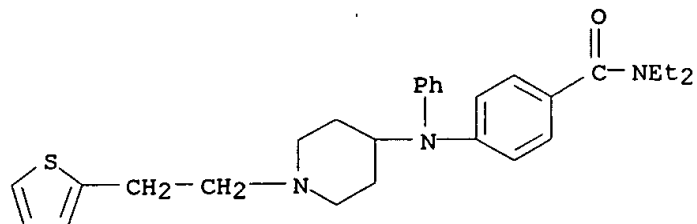
CN Benzamide, 4-[[[(3R,4R)-1-[2-(1,3-benzodioxol-5-yl)ethyl]-3-methyl-4-piperidinyl](3-hydroxyphenyl)amino]-N-ethyl-N-methyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 229478-77-7 CAPLUS

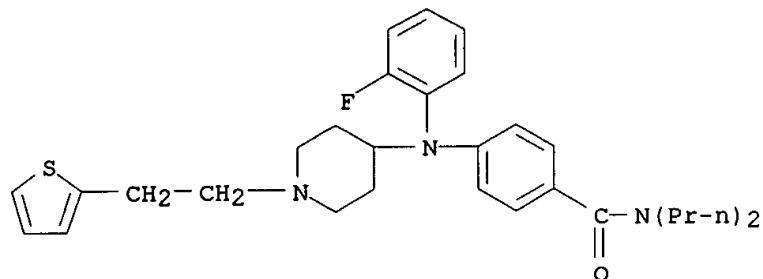
CN Benzamide, N,N-diethyl-4-[phenyl[1-[2-(2-thienyl)ethyl]-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



RN 229478-79-9 CAPLUS

CN Benzamide,

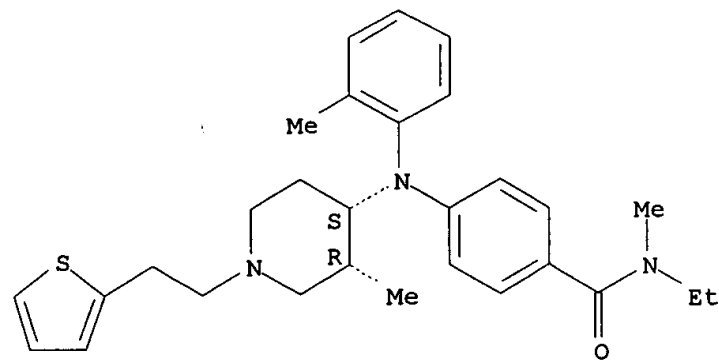
4-[(2-fluorophenyl)[1-[2-(2-thienyl)ethyl]-4-piperidinyl]amino]-
N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 229478-80-2 CAPLUS

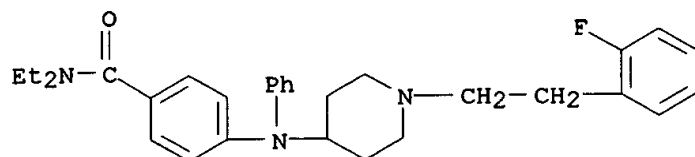
CN Benzamide, N-ethyl-N-methyl-4-[(2-methylphenyl)[(3R,4S)-3-methyl-1-[2-(2-thienyl)ethyl]-4-piperidinyl]amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



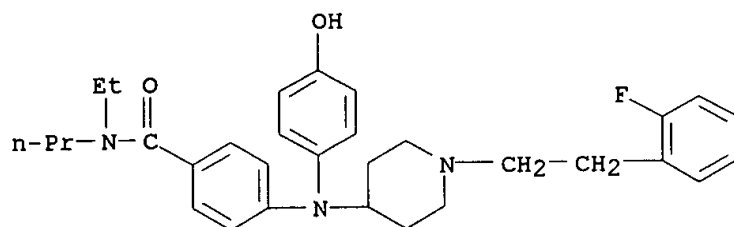
RN 229478-81-3 CAPLUS

CN Benzamide, N,N-diethyl-4-[[1-[2-(2-fluorophenyl)ethyl]-4-piperidinyl]phenylamino]- (9CI) (CA INDEX NAME)



RN 229478-82-4 CAPLUS

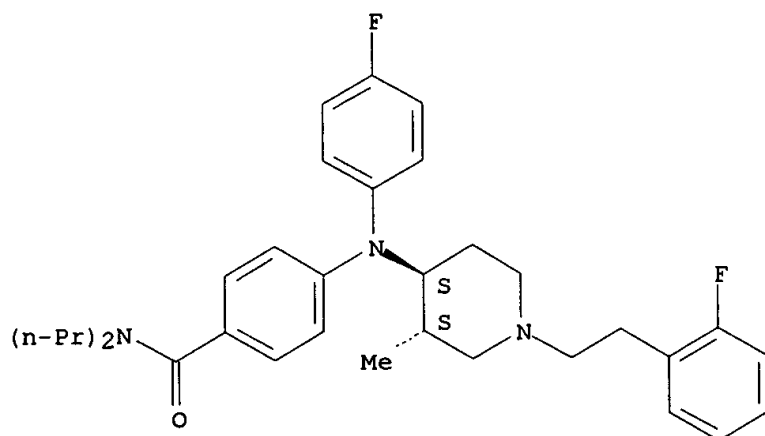
CN Benzamide, N-ethyl-4-[[1-[2-(2-fluorophenyl)ethyl]-4-piperidinyl](4-hydroxyphenyl)amino]-N-propyl- (9CI) (CA INDEX NAME)



RN 229478-83-5 CAPLUS

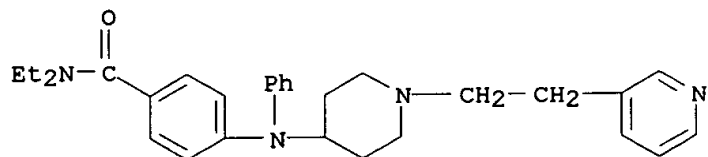
CN Benzamide, 4-[(4-fluorophenyl)[(3R,4R)-1-[2-(2-fluorophenyl)ethyl]-3-methyl-4-piperidinyl]amino]-N,N-dipropyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



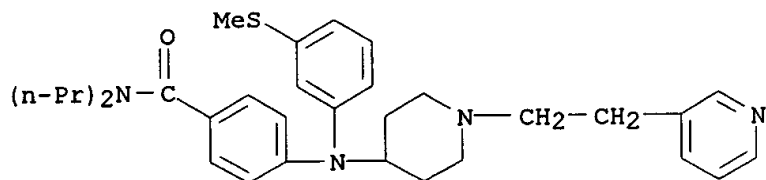
RN 229478-84-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[[1-[2-(3-pyridinyl)ethyl]-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



RN 229478-85-7 CAPLUS

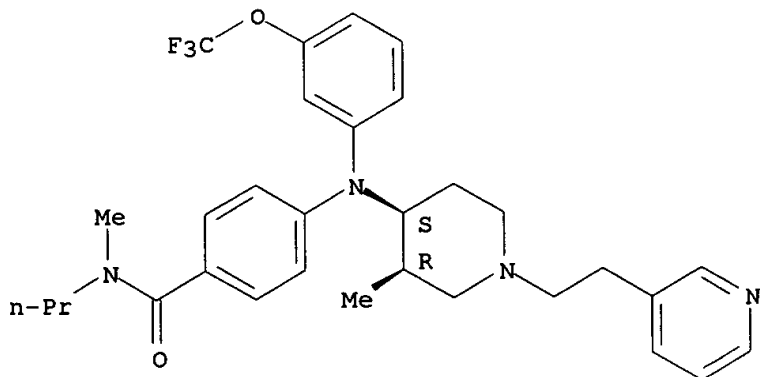
CN Benzamide, 4-[[3-(methylthio)phenyl][1-[2-(3-pyridinyl)ethyl]-4-piperidinyl]amino]-N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 229478-86-8 CAPLUS

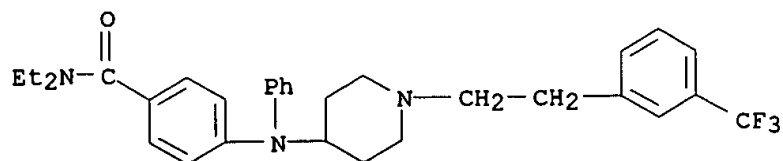
CN Benzamide, N-methyl-4-[[[(3R,4S)-3-methyl-1-[2-(3-pyridinyl)ethyl]-4-piperidinyl][3-(trifluoromethoxy)phenyl]amino]-N-propyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



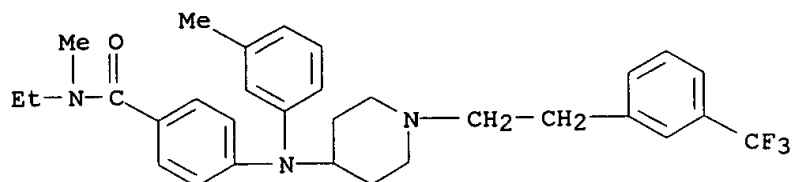
RN 229478-88-0 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl[1-[2-[3-(trifluoromethyl)phenyl]ethyl]-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



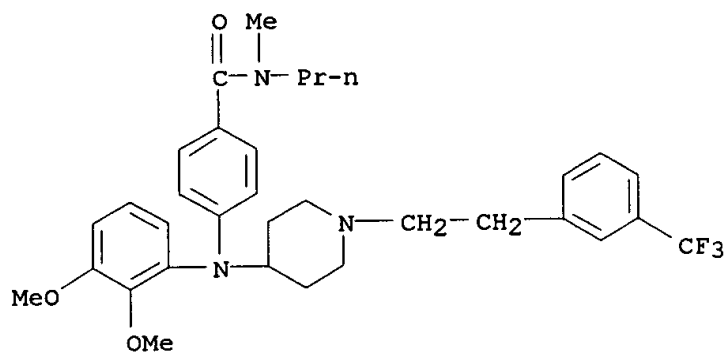
RN 229478-89-1 CAPLUS

CN Benzamide, N-ethyl-N-methyl-4-[(3-methylphenyl)[1-[2-[3-(trifluoromethyl)phenyl]ethyl]-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



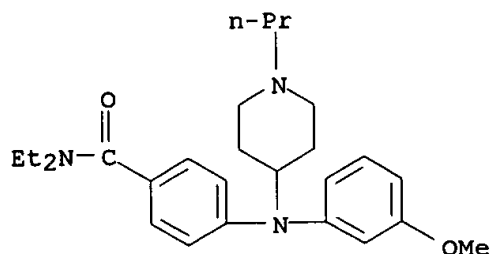
RN 229478-90-4 CAPLUS

CN Benzamide,
4-[(2,3-dimethoxyphenyl)[1-[2-[3-(trifluoromethyl)phenyl]ethyl]-4-piperidinyl]amino]-N-methyl-N-propyl- (9CI) (CA INDEX NAME)



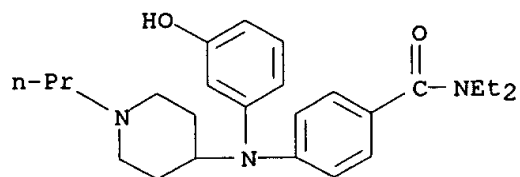
RN 229478-91-5 CAPLUS

CN Benzamide,
N,N-diethyl-4-[(3-methoxyphenyl)(1-propyl-4-piperidinyl)amino]- (9CI) (CA INDEX NAME)

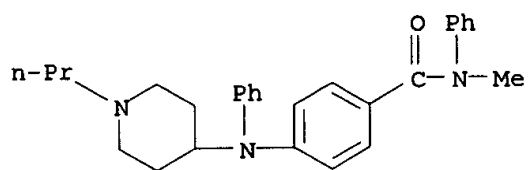


RN 229478-92-6 CAPLUS

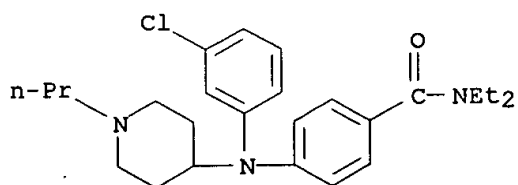
CN Benzamide,
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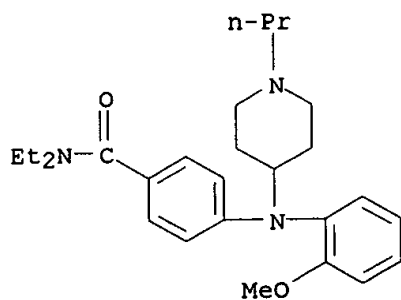
RN 229478-93-7 CAPLUS
 CN Benzamide, N-methyl-N-phenyl-4-[phenyl(1-propyl-4-piperidinyl)amino]-
 (9CI) (CA INDEX NAME)



RN 229478-94-8 CAPLUS
 CN Benzamide, 4-[(3-chlorophenyl)(1-propyl-4-piperidinyl)amino]-N,N-diethyl-
 (9CI) (CA INDEX NAME)

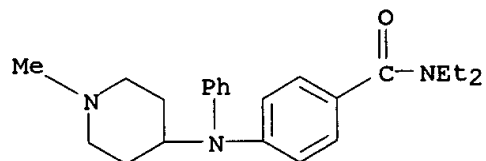


RN 229478-96-0 CAPLUS
 CN Benzamide,
 N,N-diethyl-4-[(2-methoxyphenyl)(1-propyl-4-piperidinyl)amino]-
 (9CI) (CA INDEX NAME)

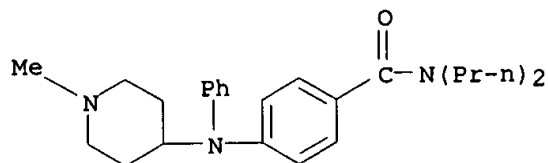


RN 229479-00-9 CAPLUS
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 (CA)

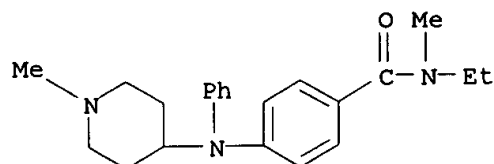
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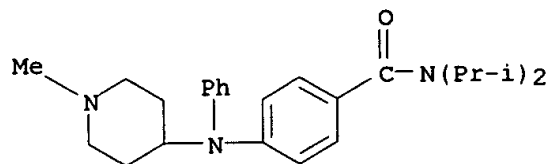
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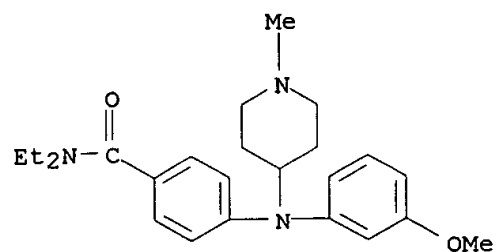
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 (CA INDEX NAME)



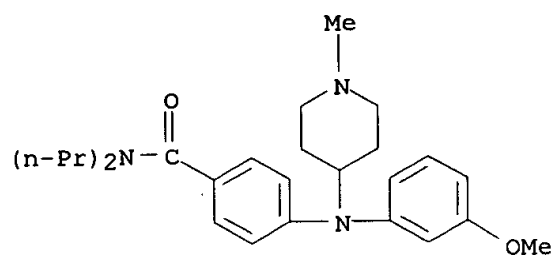
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 N,N-bis(1-methylethyl)-4-[(1-methyl-4-piperidinyl)phenylamino]-
 (9CI) (CA INDEX NAME)



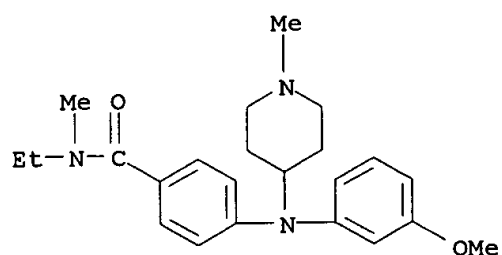
RN 229479-07-6 CAPLUS
 CN Benzamide,
 N,N-diethyl-4-[(3-methoxyphenyl)(1-methyl-4-piperidinyl)amino]-
 (9CI) (CA INDEX NAME)



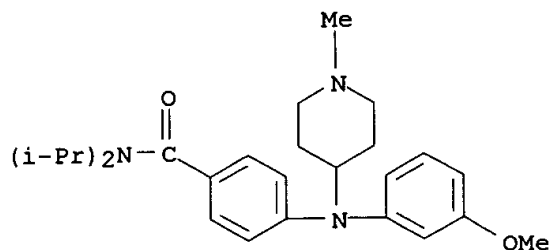
RN 229479-09-8 CAPLUS
 CN Benzamide,
 4-[(3-methoxyphenyl)(1-methyl-4-piperidinyl)amino]-N,N-dipropyl-
 (9CI) (CA INDEX NAME)



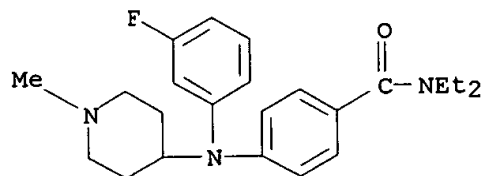
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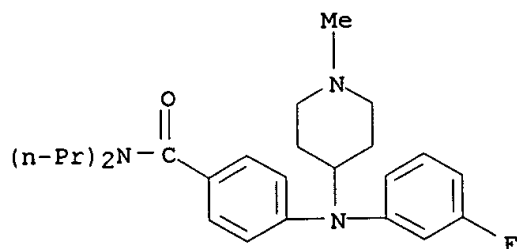
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 CN Benzamide, 4-[(3-methoxyphenyl)(1-methyl-4-piperidinyl)amino]-N,N-bis(1-
 methylethyl)- (9CI) (CA INDEX NAME)



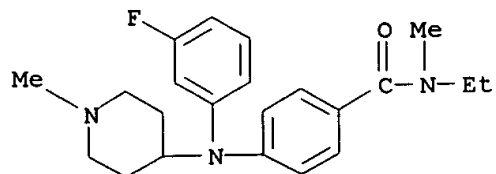
RN 229479-15-6 CAPLUS
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 (9CI) (CA INDEX NAME)



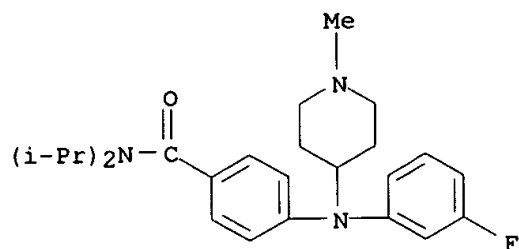
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 CN Benzamide,
 4-[(3-fluorophenyl)(1-methyl-4-piperidinyl)amino]-N,N-dipropyl-
 (9CI) (CA INDEX NAME)



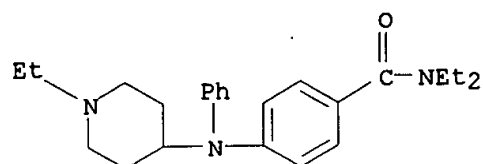
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 CN Benzamide, N-ethyl-4-[(3-fluorophenyl)(1-methyl-4-piperidinyl)amino]-N-
 methyl- (9CI) (CA INDEX NAME)



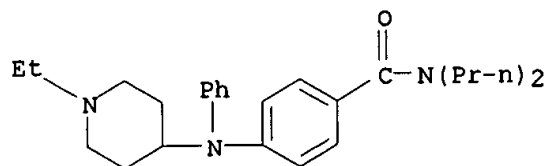
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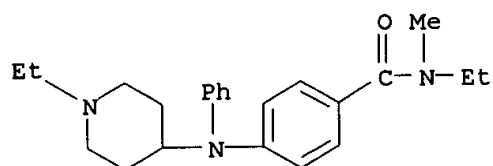
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 CN Benzamide, N,N-diethyl-4-[(1-ethyl-4-piperidinyl)phenylamino]- (9CI) (CA INDEX NAME)



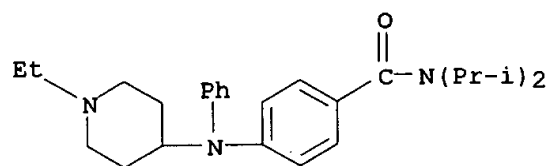
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 (CA INDEX NAME)



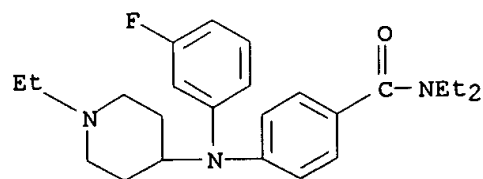
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 (CA INDEX NAME)



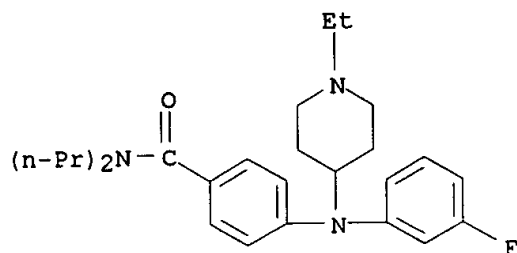
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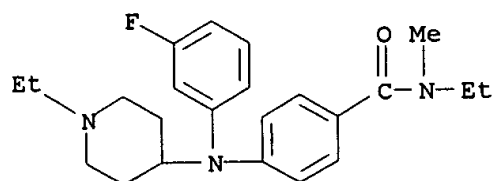
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 CN Benzamide, N,N-diethyl-4-[(1-ethyl-4-piperidinyl)(3-fluorophenyl)amino]-
 (9CI) (CA INDEX NAME)



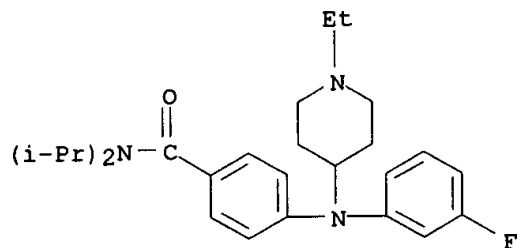
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 (9CI) (CA INDEX NAME)



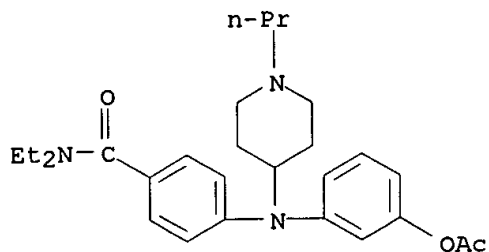
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 CN Benzamide, N-ethyl-4-[(1-ethyl-4-piperidinyl)(3-fluorophenyl)amino]-N-
 methyl- (9CI) (CA INDEX NAME)



RN 229479-33-8 CAPLUS
 CN Benzamide, 4-[(1-ethyl-4-piperidinyl)(3-fluorophenyl)amino]-N,N-bis(1-
 methylethyl)- (9CI) (CA INDEX NAME)



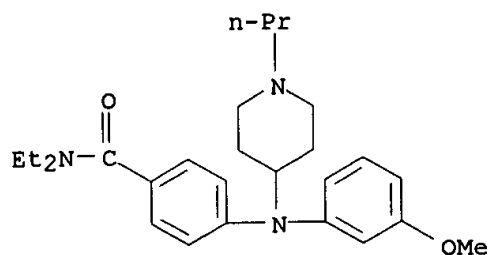
RN 229479-38-3 CAPLUS
 CN Benzamide, 4-[[3-(acetyloxy)phenyl] (1-propyl-4-piperidinyl) amino]-N,N-diethyl- (9CI) (CA INDEX NAME)



RN 229479-39-4 CAPLUS
 CN Benzamide,
 N,N-diethyl-4-[(3-methoxyphenyl) (1-propyl-4-piperidinyl) amino]-
 , (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

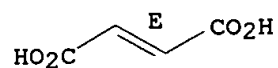
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CM 2

CRN 110-17-8
 CMF C4 H4 O4
 CDES 2:E

Double bond geometry as shown.



RN 229479-40-7 CAPLUS

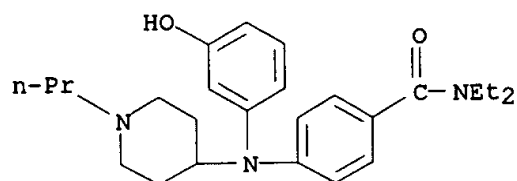
CN Benzamide,

N,N-diethyl-4-[(3-hydroxyphenyl)(1-propyl-4-piperidiny)amino]-
, ethanedioate (2:1) (salt) (9CI) (CA INDEX NAME)

CM 1

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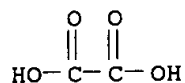
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CM 2

CRN 144-62-7

CMF C2 H2 O4



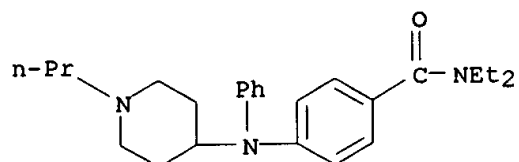
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CN Benzamide, N,N-diethyl-4-[phenyl(1-propyl-4-piperidiny)amino]-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

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CRN 229478-58-4

CMF C25 H35 N3 O

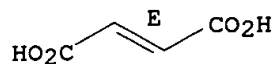


CM 2

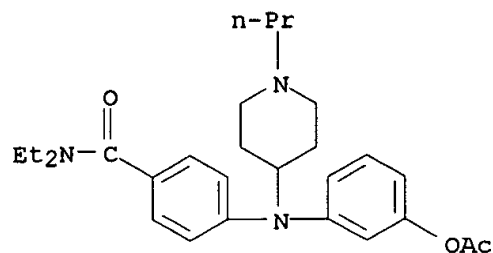
CRN 110-17-8

CMF C4 H4 O4
CDES 2:E

Double bond geometry as shown.



RN 229479-45-2 CAPLUS
CN Benzamide, 4-[[3-(acetyloxy)phenyl](1-propyl-4-piperidiny]amino]-N,N-diethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RE.CNT 6

RE

- (1) Edward, R; WO 9828270 A 1998 CAPLUS
 - (2) Giulio, D; WO 9636620 A 1996 CAPLUS
 - (3) Glaxo Inc; EP 0383579 A 1990 CAPLUS
 - (4) Niklas, P; WO 9723466 A 1997 CAPLUS
 - (5) Smithkline Beecham Spa; WO 9710230 A 1997 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2001 ACS

AN 1998:479507 CAPLUS

DN 129:108996

TI Preparation of 4-aminopiperidines with analgesic effect

IN Pelcman, Benjamin; Roberts, Edward

PA Astra Pharma Inc., Can.; Astra Aktiebolag (Publ)

SO PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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PI	WO 9828270	A1	19980702	WO 1997-SE2051	19971209
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	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI,			

FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM,
 GA, GN, ML, MR, NE, SN, TD, TG

AU 9853513	A1	19980717	AU 1998-53513	19971209
EP 946510	A1	19991006	EP 1997-950539	19971209
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US 6153626	A	20001128	US 1998-29468	19980303
NO 9903023	A	19990812	NO 1999-3023	19990618
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WO 1997-SE2051	W	19971209		
OS MARPAT 129:108996				
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; m = 0-1; n = 0-2; R1 = H, C1-6 alkyl, C3-8 cycloalkyl, etc.; A = II, III, etc. (wherein R6-R9 = R1; Z1, Z2 = H, Me, halo, etc.); B = (un)substituted arom., heteroarom., etc.], useful in therapy, in particular in the management of pain, treatment of gastrointestinal disorders, spinal injuries, sympathetic nervous system disorders, and, when isotopically labeled, as diagnostic agent (no data), were prepd. Thus, reaction of 4-[N-(1-benzylpiperidin-4-yl)amino]-N,N-diethylbenzamide with tri-1-naphthylbismuth in the presence of Cu(OAc)2

in

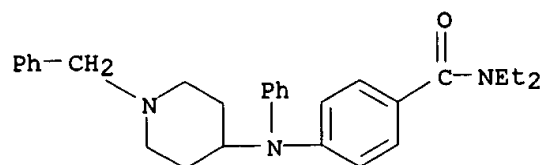
PhMe afforded 83% IV.

IT **209902-03-4P 209902-09-0P**

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of 4-aminopiperidines with analgesic effect)

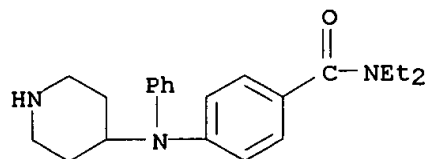
RN 209902-03-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl[1-(phenylmethyl)-4-piperidinyl]amino]-
 (9CI) (CA INDEX NAME)



RN 209902-09-0 CAPLUS

CN Benzamide, N,N-diethyl-4-(phenyl-4-piperidinylamino)- (9CI) (CA INDEX NAME)



IT 209902-04-5P 209902-05-6P 209902-06-7P

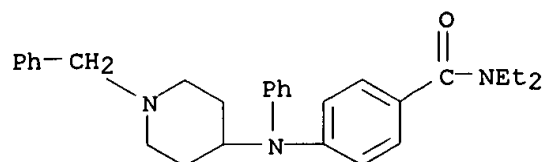
209902-10-3P 209902-14-7P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 4-aminopiperidines with analgesic effect)

RN 209902-04-5 CAPLUS

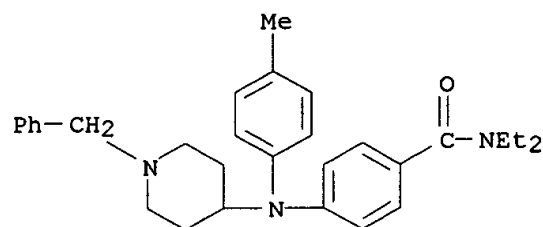
CN Benzamide, N,N-diethyl-4-[(phenyl[1-(phenylmethyl)-4-piperidinyl]amino)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

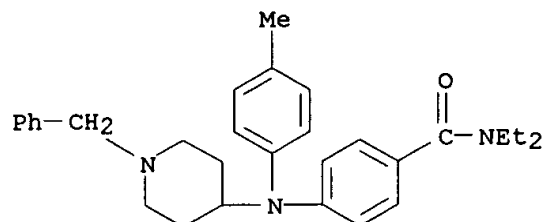
RN 209902-05-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[(4-methylphenyl)[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



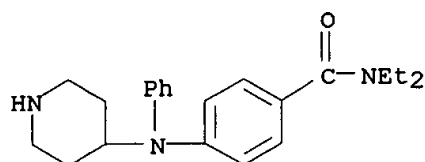
RN 209902-06-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[(4-methylphenyl)[1-(phenylmethyl)-4-piperidinyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



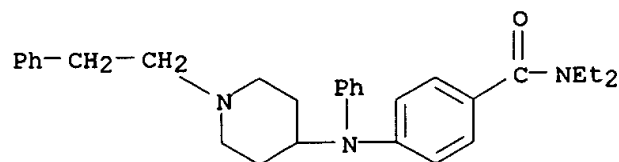
● HCl

RN 209902-10-3 CAPLUS
 CN Benzamide, N,N-diethyl-4-(phenyl-4-piperidinylamino)-, monohydrochloride
 (9CI) (CA INDEX NAME)



● HCl

RN 209902-14-7 CAPLUS
 CN Benzamide, N,N-diethyl-4-[phenyl[1-(2-phenylethyl)-4-piperidinyl]amino]-
 (9CI) (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 09:45:54 ON 13 AUG 2001)

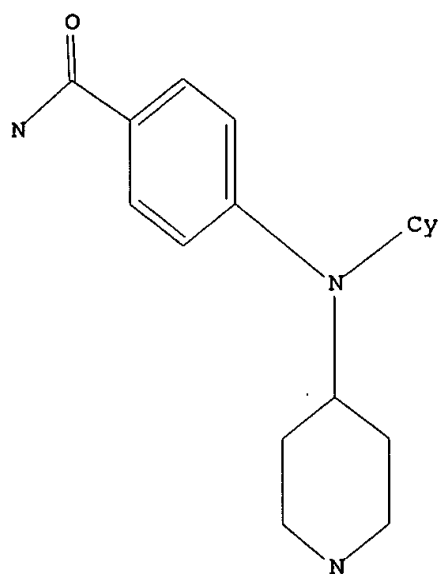
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L1 STRUCTURE UPLOADED
 L2 12 S L1
 L3 224 S L1 FULL

FILE 'CAPLUS' ENTERED AT 09:47:27 ON 13 AUG 2001
L4 10 S L3

=> d 11

L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.